3/14/05 10/765,227d. text search

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CAPLUS
BEILSTEIN
CAOLD (0 hits)
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DISTABS

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:47:52 ON 14 MAR 2005

=> filcaplus
FILCAPLUS IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'CAPLUS' ENTERED AT 16:48:12 ON 14 MAR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 14 Mar 2005 VOL 142 ISS 12
FILE LAST UPDATED: 13 Mar 2005 (20050313/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s β(w)carbolin?
       1304215 BETA
          1328 BETAS
       1304286 B
                  (BETA OR BETAS)
          5148 CARBOLIN?
L1        4000 B(W)CARBOLIN?

=> s 3-hydroxamic(w)acid
       6245340 3
          6448 HYDROXAMIC
            29 3-HYDROXAMIC
                  (3(W)HYDROXAMIC)
       3949235 ACID
       1468030 ACIDS
       4425180 ACID
                  (ACID OR ACIDS)
L2          28 3-HYDROXAMIC(W)ACID
```

```
=> del L2
DELETE L2? (Y)/N:y

=> s 3-hydroxamic(3a)acid
       6245340 3
          6448 HYDROXAMIC
            29 3-HYDROXAMIC
               (3(W)HYDROXAMIC)
       3949235 ACID
       1468030 ACIDS
       4425180 ACID
               (ACID OR ACIDS)
L2           29 3-HYDROXAMIC(3A)ACID

=> s L1 and L2
L3            0 L1 AND L2

=> d cost
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CONNECT CHARGES                                   1.95       2.10
NETWORK CHARGES                                   0.30       0.36
SEARCH CHARGES                                   15.12      15.12
                                                -------    -------
FULL ESTIMATED COST                              17.37      17.58

IN FILE 'CAPLUS' AT 16:50:57 ON 14 MAR 2005


=> s pyrido(4a)indol?
          9255 PYRIDO
         97256 INDOL?
L4        2431 PYRIDO(4A)INDOL?

=> s L2 and L4
L5            0 L2 AND L4

=> s carboxamide
         14666 CARBOXAMIDE
          4151 CARBOXAMIDES
L6       17060 CARBOXAMIDE
               (CARBOXAMIDE OR CARBOXAMIDES)

=> s L1 and L6
L7          108 L1 AND L6

=> s rauwolfia
          1536 RAUWOLFIA
             4 RAUWOLFIAS
L8        1536 RAUWOLFIA
               (RAUWOLFIA OR RAUWOLFIAS)

=> s norharmane
           116 NORHARMANE
             1 NORHARMANES
L9           117 NORHARMANE
               (NORHARMANE OR NORHARMANES)

=> s L1 or L8 or L9
L10         5567 L1 OR L8 OR L9

=> d L10
```

```
L10  ANSWER 1 OF 5567  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2005:219323  CAPLUS
TI   Variability of ribosomal RNA genes in Rauwolfia species:
     parallelism between tissue culture-induced rearrangements and interspecies
     polymorphism
AU   Andreev, I. O.; Spiridonova, K. V.; Solovyan, V. T.; Kunakh, V. A.
CS   Institute of Molecular Biology and Genetics, Academy Zabolotnogo Street,
     National Academy of Science of Ukraine, 150, Kiev-143, 03143, Ukraine
SO   Cell Biology International (2005), 29(1), 21-27
     CODEN: CBIIEV; ISSN: 1065-6995
PB   Elsevier B.V.
DT   Journal
LA   English


=> del L10
DELETE L10? (Y)/N:y

=> s L1 or L4 or L8 or L9
L10       7516 L1 OR L4 OR L8 OR L9

=> d his

     (FILE 'HOME' ENTERED AT 16:47:52 ON 14 MAR 2005)

     FILE 'CAPLUS' ENTERED AT 16:48:12 ON 14 MAR 2005
L1         4000 S B(W)CARBOLIN?
L2           29 S 3-HYDROXAMIC(3A)ACID
L3            0 S L1 AND L2
L4         2431 S PYRIDO(4A)INDOL?
L5            0 S L2 AND L4
L6        17060 S CARBOXAMIDE
L7          108 S L1 AND L6
L8         1536 S RAUWOLFIA
L9          117 S NORHARMANE
L10        7516 S L1 OR L4 OR L8 OR L9

=> s "hydroxamic acid" or carboxamide
          6448 "HYDROXAMIC"
       3949235 "ACID"
       1468030 "ACIDS"
       4425180 "ACID"
                 ("ACID" OR "ACIDS")
          6225 "HYDROXAMIC ACID"
                 ("HYDROXAMIC"(W)"ACID")
         14666 CARBOXAMIDE
          4151 CARBOXAMIDES
         17060 CARBOXAMIDE
                 (CARBOXAMIDE OR CARBOXAMIDES)
L11      23223 "HYDROXAMIC ACID" OR CARBOXAMIDE

=> s L10 and L11
L12        148 L10 AND L11

=> s "carboxylic acid"(4a)hydroxy(3a)amide
        225341 "CARBOXYLIC"
            46 "CARBOXYLICS"
        225359 "CARBOXYLIC"
                 ("CARBOXYLIC" OR "CARBOXYLICS")
       3949235 "ACID"
       1468030 "ACIDS"
       4425180 "ACID"
```

```
                ("ACID" OR "ACIDS")
        207462 "CARBOXYLIC ACID"
                ("CARBOXYLIC"(W)"ACID")
        422026 HYDROXY
             9 HYDROXIES
        422035 HYDROXY
                (HYDROXY OR HYDROXIES)
        118181 AMIDE
         74816 AMIDES
        161241 AMIDE
                (AMIDE OR AMIDES)
L13        143 "CARBOXYLIC ACID"(4A)HYDROXY(3A)AMIDE

=> s L11 or L13
L14      23352 L11 OR L13

=> s L10 and L14
L15        149 L10 AND L14

=> d his

     (FILE 'HOME' ENTERED AT 16:47:52 ON 14 MAR 2005)

     FILE 'CAPLUS' ENTERED AT 16:48:12 ON 14 MAR 2005
L1        4000 S B(W)CARBOLIN?
L2          29 S 3-HYDROXAMIC(3A)ACID
L3           0 S L1 AND L2
L4        2431 S PYRIDO(4A)INDOL?
L5           0 S L2 AND L4
L6       17060 S CARBOXAMIDE
L7         108 S L1 AND L6
L8        1536 S RAUWOLFIA
L9         117 S NORHARMANE
L10       7516 S L1 OR L4 OR L8 OR L9
L11      23223 S "HYDROXAMIC ACID" OR CARBOXAMIDE
L12        148 S L10 AND L11
L13        143 S "CARBOXYLIC ACID"(4A)HYDROXY(3A)AMIDE
L14      23352 S L11 OR L13
L15        149 S L10 AND L14

=> s L15 not L12
L16          1 L15 NOT L12

=> d L16

L16  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2003:511094  CAPLUS
DN   139:85365
TI   Preparation of pyrrolopyrimidine A2b selective antagonist compounds,
     method of synthesis and therapeutic use
IN   Castelhano, Arlindo L.; Mckibben, Bryan; Steinig, Arno G.
PA   Osi Pharmaceuticals, Inc., USA
SO   PCT Int. Appl., 223 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
PI   WO 2003053361        A2    20030703    WO 2002-US40890         20021220
     WO 2003053361        A3    20031224
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
```

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003229067 A1 20031211 US 2002-326005 20021220
EP 1467995 A2 20041020 EP 2002-805644 20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
PRAI US 2001-343443P P 20011220
WO 2002-US40890 W 20021220
OS CASREACT 139:85365; MARPAT 139:85365

=> d his

(FILE 'HOME' ENTERED AT 16:47:52 ON 14 MAR 2005)

FILE 'CAPLUS' ENTERED AT 16:48:12 ON 14 MAR 2005
L1 4000 S B(W)CARBOLIN?
L2 29 S 3-HYDROXAMIC(3A)ACID
L3 0 S L1 AND L2
L4 2431 S PYRIDO(4A)INDOL?
L5 0 S L2 AND L4
L6 17060 S CARBOXAMIDE
L7 108 S L1 AND L6
L8 1536 S RAUWOLFIA
L9 117 S NORHARMANE
L10 7516 S L1 OR L4 OR L8 OR L9
L11 23223 S "HYDROXAMIC ACID" OR CARBOXAMIDE
L12 148 S L10 AND L11
L13 143 S "CARBOXYLIC ACID"(4A)HYDROXY(3A)AMIDE
L14 23352 S L11 OR L13
L15 149 S L10 AND L14
L16 1 S L15 NOT L12

=> d L15 ibib abs

L15 ANSWER 1 OF 149 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:105517 CAPLUS
TITLE: Anxiogenic properties of an inverse agonist selective for α3 subunit-containing GABAA receptors
AUTHOR(S): Atack, John R.; Hutson, Peter H.; Collinson, Neil; Marshall, George; Bentley, Graham; Moyes, Christopher; Cook, Susan M.; Collins, Ian; Wafford, Keith; McKernan, Ruth M.; Dawson, Gerard R.
CORPORATE SOURCE: Neuroscience Research Centre, Merck Sharp & Dohme Research Laboratories, Harlow, CM20 2QR, UK
SOURCE: British Journal of Pharmacology (2005), 144(3), 357-366
CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English
AB α3IA (6-(4-pyridyl)-5-(4-methoxyphenyl)-3-carbomethoxy-1-methyl-1H-pyridin-2-one) is a pyridone with higher binding and functional affinity and greater inverse agonist efficacy for GABAA receptors containing an α3 rather than an α1, α2 or α5 subunit. If doses are selected that minimise the occupancy at these latter subtypes, then the in vivo effects of α3IA are most probably mediated by the

DOCUMENT NUMBER: 141:207055
TITLE: Preparation of β -**carboline hydroxamic acids** as HIV-integrase inhibitors
INVENTOR(S): Kuki, Atsuo; Li, Xinqiang; Plewe, Michael Bruno; Wang, Hai; Zhang, Junhu
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067531	A1	20040812	WO 2004-IB259	20040123

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

PRIORITY APPLN. INFO.: US 2003-443223P P 20030127
OTHER SOURCE(S): MARPAT 141:207055
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB **Beta-carboline hydroxamic acid** compds. Title compds. I and II [wherein R1, R2, R3, R4, R5, R6 = independently H, halo, alkoxy/alkyl, alkenyl, alkynyl, OH and derivs., NO2, NH2 and derivs.; R7 = (un)substituted alk(en/yn)yl; R8, R9 = independently H, (un)substituted alk(en/yn)yl; X = (CR10R11)n; R10, R11 = independently H, halo, OH and derivs., NH and derivs., (un)substituted lower alk(en/yn)yl; n = 1-3; their pharmaceutically acceptable salts and solvates] were prepared as inhibitors or modulators the activity of HIV-integrase enzyme. Examples include 13 synthetic prepns., bioassays for HIV-integrase activity and HIV-1 cell protection. For example, III was prepared, in 39% yield, from Et 9H-3-carboline-3-carboxylate, 4-fluorobenzyl bromide and NH2OH. Selected I and II displayed IC50 values in the range of 0.234 - 0.713 μM for the inhibition of HIV-integrase. Thus, I and II are useful for treating HIV-integrase-mediated diseases and conditions (no data).

TI Preparation of β -**carboline hydroxamic acids** as HIV-integrase inhibitors

AB **Beta-carboline hydroxamic acid** compds. Title compds. I and II [wherein R1, R2, R3, R4, R5, R6 = independently H, halo, alkoxy/alkyl, alkenyl, alkynyl, OH and derivs., NO2, NH2 and derivs.; R7 = (un)substituted alk(en/yn)yl; R8, R9 = independently H, (un)substituted alk(en/yn)yl; X = (CR10R11)n; R10, R11 = independently H, halo, OH and derivs., NH and derivs., (un)substituted lower alk(en/yn)yl; n = 1-3; their pharmaceutically acceptable salts and solvates] were prepared as inhibitors or modulators the activity of HIV-integrase enzyme. Examples include 13 synthetic prepns., bioassays for HIV-integrase activity and HIV-1 cell protection. For example, III was prepared, in 39% yield, from Et 9H-3-carboline-3-carboxylate, 4-fluorobenzyl bromide and NH2OH. Selected I and II displayed IC50 values in the range of 0.234 - 0.713 μM for the inhibition of HIV-integrase.

Thus, I and II are useful for treating HIV-integrase-mediated diseases and conditions (no data).

ST carboline **hydroxamic acid** prepn HIV integrase inhibitor AIDS

IT Anti-AIDS agents
Antiviral agents
Human
(preparation of β -**carboline hydroxamic acids** as HIV-integrase inhibitors)

IT Drug delivery systems
(prodrugs; preparation of β -**carboline hydroxamic acids** as HIV-integrase inhibitors)

IT Radiochemical analysis
(receptor-binding; preparation of β -**carboline hydroxamic acids** as HIV-integrase inhibitors)

IT AIDS (disease)
Human immunodeficiency virus
(treatment; preparation of β -**carboline hydroxamic acids** as HIV-integrase inhibitors)

IT 737817-45-7P 737817-46-8P 737817-47-9P, 9-(4-Fluorobenzyl)-N-hydroxy-9H-β -**carboline**-3-**carboxamide**
737817-48-0P, 9-[(5-Chlorothien-2-yl)methyl]-N-hydroxy-9H-β -**carboline**-3-**carboxamide** 737817-49-1P, 9-(3-Chloro-2-fluorobenzyl)-N-hydroxy-9H-β -**carboline**-3-**carboxamide** 737817-50-4P, 9-Benzyl-N-hydroxy-9H-β -**carboline**-3-**carboxamide** 737817-51-5P, 9-(4-Methylbenzyl)-N-Hydroxy-9H-β -**carboline**-3-**carboxamide** 737817-52-6P, 9-(2,4-Difluorobenzyl)-N-hydroxy-9H-3-carboline-3-**carboxamide**
737817-53-7P, 9-(3-Chloro-2,6-difluorobenzyl)-N-hydroxy-9H-β -**carboline**-3-**carboxamide** 737817-56-0P, 6-Amino-9-(3-chlorobenzyl)-N-hydroxy-9H-β -**carboline** -3-**carboxamide** 737817-59-3P, 9-(3-Chloro-2,6-difluorobenzyl)-N-hydroxy-N-methyl-9H-β -**carboline**-3-**carboxamide** 737817-60-6P, N-Benzyl-9-(3-chloro-2,6-difluorobenzyl)-N-hydroxy-9H-β -**carboline**-3-**carboxamide** 737817-61-7P, 9-(4-Fluorobenzyl)-N-hydroxy-N-methyl-9H-β -**carboline**-3-**carboxamide**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(HIV-inhibitor; preparation of β -**carboline hydroxamic acids** as HIV-integrase inhibitors)

IT 737817-54-8P, Ethyl 9-(3-chloro-2,6-difluorobenzyl)-9H-β -**carboline**-3-carboxylate 737817-55-9P 737817-57-1P, Ethyl 9-(3-chlorobenzyl)-6-nitro-9H-β -**carboline** -3-carboxylate 737817-58-2P, Ethyl 6-amino-9-(3-chlorobenzyl)-9H-β -**carboline**-3-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of β -**carboline hydroxamic acids** as HIV-integrase inhibitors)

IT 52350-85-3, HIV integrase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(of HIV, inhibition; preparation of β -**carboline hydroxamic acids** as HIV-integrase inhibitors)

IT 104-81-4, 4-Methylbenzyl bromide 459-46-1, 4-Fluorobenzyl bromide 620-20-2, 3-Chlorobenzyl chloride 622-30-0, O-Benzylhydroxyamine 23784-96-5, 2-Chloro-5-(chloromethyl)thiophene 23915-07-3, 2,4-Difluorobenzyl bromide 74214-62-3, Ethyl 9H-β -**carboline**-3-carboxylate 78539-50-1, Ethyl 6-nitro-9H-β -**carboline**-3-carboxylate 85070-47-9, 3-Chloro-2-fluorobenzyl bromide 261762-47-4, 3-Chloro-2,6-difluorobenzyl

bromide 737817-62-8, Ethyl 9-(4-fluorobenzyl)-9H-β -**carboline**-3-carboxylate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of β -**carboline hydroxamic acids** as HIV-integrase inhibitors)

IT 740984-68-3 740984-69-4 740984-70-7 740984-71-8
RL: PRP (Properties)
(unclaimed nucleotide sequence; preparation of β -**carboline hydroxamic acids** as HIV-integrase inhibitors)

L15 ANSWER 9 OF 149 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:633526 CAPLUS
DOCUMENT NUMBER: 141:167817
TITLE: Treatment of diseases with alpha-7 NACh receptor full agonists
INVENTOR(S): Groppi, Vincent Edward, Jr.; Rogers, Bruce Nelsen; Rudmann, Daniel Gregory
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004064836	A2	20040805	WO 2004-IB115	20040112
WO 2004064836	A3	20041223		

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ

PRIORITY APPLN. INFO.: US 2003-441801P P 20030122
OTHER SOURCE(S): MARPAT 141:167817

AB The present invention relates to compositions and methods to treat diseases or conditions with alpha-7 nicotinic acetylcholine receptor (AChR) full agonists by decreasing levels of tumor necrosis factor-alpha and/or by stimulating vascular angiogenesis.

IT 272-23-1P, Thieno[2,3-b]pyridine 704-91-6P, 1H-Indazole-6-carboxylic acid 1073-31-0P, 3,4-Thiophenedicarboxaldehyde 1074-99-3P, 2,4-Dimethyl-5-nitropyridine 1851-22-5P, 3-Chloropyridine 1-oxide 4442-54-0P, 2,3-Dihydro-1,4-benzodioxine-6-carboxylic acid 5832-38-2P, 2-Formyl-4-methyl-5-nitropyridine 6624-49-3P, 3-Isoquinolinecarboxylic acid 7040-07-5P, Furan-2,3-dicarboxaldehyde 7137-33-9P, Benzo[b]thiophene-2,3-dicarboxaldehyde 13452-14-7P 14757-78-9P 15112-41-1P, 1,3-Benzoxazole-5-carboxylic acid 18853-32-2P, 3,4-Dicyanothiophene 19005-93-7P, 1H-Indole-2-carboxaldehyde 21344-31-0P, Thieno[2,3-b]pyridine-5-carbonitrile 21472-88-8P, Ethyl 5-hydroxy-6-oxo-1,2,3,6-tetrahydropyridine-4-carboxylate 21473-14-3P 21473-16-5P, exo-1-Azabicyclo[2.2.1]heptan-3-ol 21492-03-5P, cis-4-(Hydroxymethyl)piperidin-3-ol 23680-40-2P, Methyl 3-bromopropiolate 24621-70-3P, 1H-Indole-2-methanol 25557-50-0P, Thieno[2,3-b]pyridine-7-oxide 28872-85-7P, 2-(3-Bromo-2-furyl)-1,3-dioxolane 34668-25-2P, Ethyl furo[2,3-b]pyridine-2-carboxylate 34668-26-3P, Furo[2,3-b]pyridine-2-carboxylic acid 35350-37-9P 36404-88-3P, 2-Chloronicotinaldehyde 38180-46-0P, 3-Chloropyridine-2-carbonitrile 40789-79-5P, 2-(Benzoyloxy)-1-nitroethane 56538-57-9P, [[(Benzyloxy)carbonyl]amino](hydroxy)acetic acid 58123-77-6P,

carboxylic acid hydrochloride 588720-16-5P, 6-Bromopyrrolo[1,2-c]pyrimidine-3-carboxylic acid hydrochloride 588720-29-0P, Imidazo[1,5-a]pyridine-7-carboxylic acid 588720-48-3P, Pyrrolo[1,2-a]pyrazine-3-carboxylic acid hydrochloride 588720-59-6P, Pyrazino[1,2-a]indole-3-carboxylic acid hydrochloride 655785-32-3P, Phenyl 4-iodo-1H-pyrazole-1-carboxylate 655785-40-3P, 4-Nitrophenyl 4-(2-chlorophenyl)-1H-pyrazole-1-carboxylate 711082-67-6P 711083-82-8P, (3S)-3-(Phenoxymethyl)-2,3-dihydro-1,4-benzodioxine-6-carboxylic acid 711084-61-6P, Oxazolo[4,5-c]pyridine-6-carboxylic acid 711084-89-8P 711085-20-0P 711085-34-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of azabicycloalkane derivs. useful as α7 nAChR agonists)

IT 473795-11-8P 473795-39-0P, endo-1-Azabicyclo[3.2.1]octan-3-amine dihydrochloride 478148-80-0P 478148-90-2P 478149-24-5P 478149-31-4P 478149-43-8P 478149-45-0P 478149-46-1P, N-(1-Azabicyclo[2.2.2]oct-3-yl)furo[2,3-c]pyridine-5-**carboxamide**
478149-53-0P 478149-55-2P 478149-58-5P 478149-67-6P 478149-68-7P
478149-71-2P 478149-73-4P 478149-74-5P 478149-78-9P 478149-83-6P
478149-95-0P 478149-96-1P 478150-02-6P 478152-73-7P 478152-78-2P
478155-32-7P 478169-41-4P 478169-43-6P 478169-45-8P 478169-49-2P
478169-75-4P 478169-89-0P 478170-28-4P 500556-90-1P 501892-52-0P
501893-00-1P 501893-01-2P 501893-02-3P 501893-03-4P 501893-10-3P
501893-13-6P 501893-17-0P 501893-18-1P 501893-19-2P 501893-20-5P
501893-23-8P 501897-07-0P 501901-29-7P 501901-30-0P 501901-33-3P
501901-43-5P 501901-52-6P 508201-60-3P 508201-72-7P 508201-75-0P
508201-78-3P 508201-88-5P 508201-93-2P 508202-02-6P 508202-05-9P
508202-22-0P 508202-68-4P 508203-04-1P 508203-63-2P 521277-79-2P
521278-10-4P 521278-18-2P 527680-56-4P 527681-36-3P 527681-66-9P
588702-81-2P 588702-84-5P 588702-87-8P 588703-09-7P 588703-11-1P
588703-26-8P 588703-34-8P 588703-38-2P 588703-46-2P 588703-51-9P
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588705-43-5P 588705-51-5P 588705-52-6P 588705-80-0P 588720-18-7P
588720-21-2P 588720-37-0P 588720-43-8P 588720-45-0P 588720-54-1P
588720-56-3P 588720-60-9P 588720-69-8P 588723-76-6P 588726-61-8P
588726-81-2P 590369-66-7P 590369-67-8P 590369-75-8P 590370-28-8P
590370-30-2P 590370-42-6P 655785-29-8P 655785-31-2P 655785-35-6P
655785-43-6P 711085-63-1P 711085-68-6P 711085-92-6P 711086-35-0P
711086-40-7P 711086-65-6P 711086-78-1P 711088-12-9P 711089-23-5P
711089-83-7P 711089-98-4P 711090-06-1P 711090-20-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicycloalkane derivs. useful as α7 nAChR agonists)

L15 ANSWER 14 OF 149 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:453214 CAPLUS
DOCUMENT NUMBER: 141:23513
TITLE: Preparation of substituted **pyrido**[3,2-b] **indoles** for use in pharmaceutical compositions for the treatment of HIV-infection
INVENTOR(S): Kesteleyn, Bart Rudolf Romanie; Van De Vreken, Wim; Kindermans, Natalie Maria Francisca; Canard, Maxime Francis Jean-Marie Ghislain; Hertogs, Kurt; Bettens, Eva; De Vroey Veronique, Corine Paul; Jochmans, Dirk Edward Desire
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046143	A1	20040603	WO 2003-EP50837	20031114

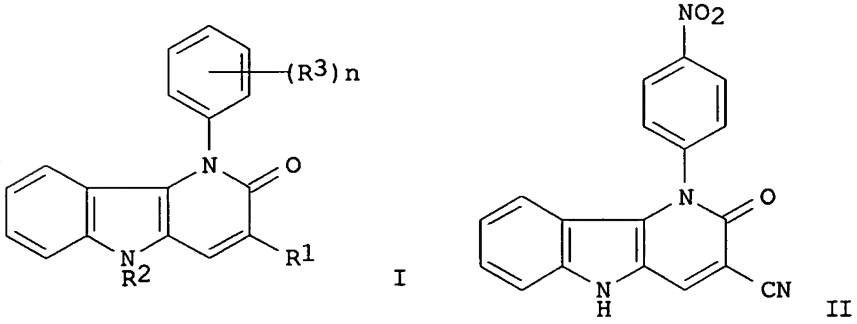
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2002-79783 A 20021115
US 2002-434950P P 20021220

OTHER SOURCE(S): MARPAT 141:23513

GI



AB **Pyrido**[3,2-b]**indoles**, such as I [R1 = H, CN, halogen, **carboxamide**, carboxyl, etc.; R2 = H, alkyl, alkenyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, etc.; R3 = NO2, CN, NH2, OH, CO2H, CONH2, methanimidamidyl, alkoxy, acyl, etc.; n = 1, 2, 3], were prepared for therapeutic use and anti-HIV agents. Thus, **pyrido**[3,2-b]**indole** II was prepared via a five step synthetic scheme starting from 1-acetyl-3-hydroxyindole, 4-nitroaniline and Et cyanoacetate. The prepared **pyrido**[3,2-b]**indoles** were tested for inhibition of HIV reverse transcriptase, for metabolism using human liver microsomal fractions and for anti-HIV activity.

TI Preparation of substituted **pyrido**[3,2-b]**indoles** for use in pharmaceutical compositions for the treatment of HIV-infection

AB **Pyrido**[3,2-b]**indoles**, such as I [R1 = H, CN, halogen, **carboxamide**, carboxyl, etc.; R2 = H, alkyl, alkenyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, etc.; R3 = NO2, CN, NH2, OH, CO2H, CONH2, methanimidamidyl, alkoxy, acyl, etc.; n = 1, 2, 3], were prepared for therapeutic use and anti-HIV agents. Thus, **pyrido**[3,2-b]**indole** II was prepared via a five step synthetic scheme starting from 1-acetyl-3-hydroxyindole, 4-nitroaniline and Et cyanoacetate. The prepared **pyrido**[3,2-b]**indoles** were tested for inhibition of HIV reverse transcriptase, for metabolism using human liver microsomal fractions and for anti-HIV activity.

IT Anti-AIDS agents
Human
(preparation of substituted **pyrido**[3,2-b]**indoles** for use in pharmaceutical compns. for the treatment of HIV-infection)

IT Drug delivery systems
(prodrugs; preparation of substituted **pyrido**[3,2-b]**indoles**

for use in pharmaceutical compns. for the treatment of HIV-infection)

IT AIDS (disease)
(treatment; preparation of substituted **pyrido**[3,2-b] **indoles** for use in pharmaceutical compns. for the treatment of HIV-infection)

IT 9068-38-6
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(HIV, inhibitors; preparation of substituted **pyrido**[3,2-b] **indoles** for use in pharmaceutical compns. for the treatment of HIV-infection)

IT 304465-35-8P 352548-47-1P 698396-45-1P 698396-64-4P 698396-65-5P
698396-80-4P 698396-93-9P 698396-97-3P 698396-98-4P 698397-05-6P
698397-11-4P 698397-15-8P 698397-18-1P 698397-19-2P 698397-25-0P
698397-41-0P 698397-43-2P 698397-44-3P 698397-45-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted **pyrido**[3,2-b]**indoles** for use in pharmaceutical compns. for the treatment of HIV-infection)

IT 698397-13-6
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted **pyrido**[3,2-b]**indoles** for use in pharmaceutical compns. for the treatment of HIV-infection)

IT 698396-30-4P 698396-31-5P 698396-32-6P 698396-33-7P 698396-34-8P
698396-35-9P 698396-36-0P 698396-37-1P 698396-38-2P 698396-39-3P
698396-40-6P 698396-41-7P 698396-42-8P 698396-43-9P 698396-44-0P
698396-46-2P 698396-47-3P 698396-48-4P 698396-49-5P 698396-50-8P
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698397-34-1P 698397-35-2P 698397-36-3P 698397-37-4P 698397-38-5P
698397-39-6P 698397-40-9P 698397-42-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted **pyrido**[3,2-b]**indoles** for use in pharmaceutical compns. for the treatment of HIV-infection)

IT 62-55-5, Thioacetamide 79-04-9, Chloroacetyl chloride 94-05-3
100-01-6, 4-Nitroaniline, reactions 104-94-9, 4-Methoxyaniline
105-56-6, Ethyl cyanoacetate 106-40-1, 4-Bromoaniline 108-59-8,
Dimethyl malonate 109-01-3, 1-Methylpiperazine 109-70-6,
1-Bromo-3-chloropropane 123-75-1, Pyrrolidine, reactions 530-62-1,
1,1'-Carbonyldiimidazole 628-36-4, N,N'-Diformylhydrazine 696-59-3,
2,5-Dimethoxytetrahydrofuran 703-80-0, 3-Acetylindole 873-74-5,
4-Aminobenzonitrile 4637-24-5 4755-77-5, Ethyl oxalyl chloride
5050-41-9, 1-(2-Chloroethyl)pyrrolidine 5292-43-3, tert-Butyl
bromoacetate 6160-65-2, 1,1'-Thiocarbonyldiimidazole 13331-23-2,
2-Furylboronic acid 16800-68-3 33025-60-4, 1-Acetyl-3-hydroxyindole
39931-77-6, Ethyl 3-pyridylacetate 55552-70-0, 3-Furylboronic acid
149104-90-5, 4-Acetylphenylboronic acid
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted **pyrido**[3,2-b]**indoles** for use in pharmaceutical compns. for the treatment of HIV-infection)

IT 14757-68-7P 19012-02-3P 52971-32-1P 67766-00-1P 85729-26-6P 136429-63-5P 167954-14-5P 167954-19-0P 304465-28-9P 658041-31-7P 698397-46-5P 698397-47-6P 698397-48-7P 698397-49-8P 698397-50-1P 698397-51-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted **pyrido**[3,2-b]**indoles** for use in pharmaceutical compns. for the treatment of HIV-infection)

L15 ANSWER 15 OF 149 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:414634 CAPLUS

DOCUMENT NUMBER: 140:423661

TITLE: Preparation of substituted 1,8-naphthyridines as anti-infective agents

INVENTOR(S): Pratt, John K.; Betebenner, David A.; Donner, Pamela L.; Green, Brian E.; Kempf, Dale J.; McDaniel, Keith F.; Maring, Clarence J.; Stoll, Vincent S.; Zhang, Rong

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 91 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004097492	A1	20040520	US 2002-285714	20021101
US 2004087577	A1	20040506	US 2003-410853	20030410
US 2004162285	A1	20040819	US 2003-625121	20030723
WO 2004041818	A1	20040521	WO 2003-US34707	20031031

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2002-285714	A2	20021101
US 2003-410853	A2	20030410
US 2003-625121	A	20030723
US 2003-679881	A	20031006

OTHER SOURCE(S): MARPAT 140:423661

GI

LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:111299
AB Minisci-type radical carbamoylation of 1-bromo-β -**carboline** (I) gives the 3-substituted product in low yield, whereas 1-acetyl-β -**carboline** (II) reacts under ipso-substitution of the acetyl group. Cyanations of the N-oxides of I and II occur under clean ipso-substitution of the groups in 1-position. 1-Me derivs. show no tendency to react under ipso-substitution.
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
TI Unexpected ipso-substitutions at the β -**carboline** nucleus
AB Minisci-type radical carbamoylation of 1-bromo-β -**carboline** (I) gives the 3-substituted product in low yield, whereas 1-acetyl-β -**carboline** (II) reacts under ipso-substitution of the acetyl group. Cyanations of the N-oxides of I and II occur under clean ipso-substitution of the groups in 1-position. 1-Me derivs. show no tendency to react under ipso-substitution.
IT Carbamoylation
Substitution reaction
(carbamoylation of β -**carbolines** via ipso-substitution)
IT 244-63-3, β -**Carboline** 2506-09-4, 1-Methyl-β -**carboline** 2-oxide 50892-83-6, 1-Acetyl-β -**carboline** 159898-15-4, 1-Bromo-β -**carboline**
RL: RCT (Reactant); RACT (Reactant or reagent)
(carbamoylation of β -**carbolines** via ipso-substitution)
IT 24223-07-2P, β -**Carboline** 2-oxide 647825-14-7P, 1-Acetyl-β -**carboline** 2-oxide 647825-15-8P, 1-Bromo-β -**carboline** 2-oxide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(carbamoylation of β -**carbolines** via ipso-substitution)
IT 38940-60-2P, β -**Carboline**-1-**carboxamide**
79960-43-3P, β -**Carboline**-1-carbonitrile
93138-03-5P, 1-Methyl-β -**carboline**-3-carbonitrile
647825-13-6P, 1-Bromo-β -**carboline**-3-**carboxamide** 647825-16-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(carbamoylation of β -**carbolines** via ipso-substitution)

=> ind patents
FILE 'ENCOMPPAT2' ACCESS NOT AUTHORIZED

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	110.09	110.30

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-15.33	-15.33

INDEX 'CAOLD, CAPLUS, CASREACT, CROPU, DGENE, DPCI, ENCOMPPAT, EPFULL, FRANCEPAT, FRFULL, FSTA, IFIPAT, IMSPATENTS, INPADOC, JAPIO, KOREAPAT, LITALERT, NTIS, PAPERCHEM2, PATDD, PATDPA, PATDPAFULL, PCTFULL, PCTGEN, PIRA, PROUSDDR, PS, RAPRA, RDISCLOSURE, ...'
ENTERED AT 17:00:01 ON 14 MAR 2005

37 FILES IN THE FILE LIST IN STNINDEX

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Enter SET DETAIL ON to see search term postings or to view
search error messages that display as 0* with SET DETAIL OFF.

=> s L15
        149   FILE CAPLUS
         20   FILE CASREACT
          4   FILE DGENE
   5 FILES SEARCHED...
          3   FILE DPCI
          1   FILE ENCOMPPAT
        216   FILE EPFULL
          2   FILE FRANCEPAT
         11   FILE FRFULL
         68   FILE IFIPAT
  12 FILES SEARCHED...
         24   FILE INPADOC
          1   FILE JAPIO
          1   FILE KOREAPAT
          2   FILE NTIS
          7   FILE PATDPAFULL
  22 FILES SEARCHED...
        251   FILE PCTFULL
         60   FILE PROUSDDR
  26 FILES SEARCHED...
          5   FILE SYNTHLINE
        839   FILE USPATFULL
  33 FILES SEARCHED...
        108   FILE USPAT2
         16   FILE WPIDS
  35 FILES SEARCHED...
         16   FILE WPINDEX

  21 FILES HAVE ONE OR MORE ANSWERS,   37 FILES SEARCHED IN STNINDEX

L17  QUE L15

=> d rank
F1          839   USPATFULL
F2          251   PCTFULL
F3          216   EPFULL
F4          149   CAPLUS
F5          108   USPAT2
F6           68   IFIPAT
F7           60   PROUSDDR
F8           24   INPADOC
F9           20   CASREACT
F10          16   WPIDS
F11          16   WPINDEX
F12          11   FRFULL
F13           7   PATDPAFULL
F14           5   SYNTHLINE
F15           4   DGENE
F16           3   DPCI
F17           2   FRANCEPAT
F18           2   NTIS
F19           1   ENCOMPPAT
F20           1   JAPIO
F21           1   KOREAPAT

=> fil ifipat
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
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FULL ESTIMATED COST                                      4.13      114.43

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      0.00     -15.33

FILE 'IFIPAT' ENTERED AT 17:04:06 ON 14 MAR 2005
COPYRIGHT (C) 2005 IFI CLAIMS(R) Patent Services (IFI)

FILE COVERS 1950 TO PATENT PUBLICATION DATE: 10 Mar 2005 (20050310/PD)
FILE LAST UPDATED: 11 Mar 2005 (20050311/ED)
HIGHEST GRANTED PATENT NUMBER: US2005033839
HIGHEST APPLICATION PUBLICATION NUMBER: US2005055750
UNITERM INDEXING IS AVAILABLE IN THE IFIUDB FILE
UNITERM INDEXING LAST UPDATED: 10 Feb 2005 (20050210/UP)
INDEXING CURRENT THROUGH PAT PUB DATE: 27 Jul 2004 (20040727/PD)

INCL, INCLM, INCLS fields added.  Please refer to ONLINE News for details.


=> s L15
        84243 BETA
           67 BETAS
        84276 B
                (BETA OR BETAS)
          461 CARBOLIN?
          328 B(W)CARBOLIN?
         2283 PYRIDO
        17729 INDOL?
          491 PYRIDO(4A)INDOL?
           64 RAUWOLFIA
            1 NORHARMANE
         1121 "HYDROXAMIC"
       440812 "ACID"
       117319 "ACIDS"
       463571 "ACID"
                ("ACID" OR "ACIDS")
         1073 "HYDROXAMIC ACID"
                ("HYDROXAMIC"(W)"ACID")
         5210 CARBOXAMIDE
         1147 CARBOXAMIDES
         5853 CARBOXAMIDE
                (CARBOXAMIDE OR CARBOXAMIDES)
        68634 "CARBOXYLIC"
            4 "CARBOXYLICS"
        68636 "CARBOXYLIC"
                ("CARBOXYLIC" OR "CARBOXYLICS")
       440812 "ACID"
       117319 "ACIDS"
       463571 "ACID"
                ("ACID" OR "ACIDS")
        62583 "CARBOXYLIC ACID"
                ("CARBOXYLIC"(W)"ACID")
        98293 HYDROXY
            7 HYDROXIES
        98297 HYDROXY
                (HYDROXY OR HYDROXIES)
        33672 AMIDE
        14465 AMIDES
        43627 AMIDE
                (AMIDE OR AMIDES)
          332 "CARBOXYLIC ACID"(4A)HYDROXY(3A)AMIDE
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L18 68 L10 AND L14

=> d L18 50-68 ibib abs kwic

L18 ANSWER 50 OF 68 IFIPAT COPYRIGHT 2005 IFI on STN

AN	03337016 IFIPAT;IFIUDB;IFICDB
TITLE:	1H-**PYRIDO**(3,4-B)**INDOLE**-4-**CARBOXAMIDE** DERIVATIVES, PREPARATION AND APPLICATION THEREOF IN THERAPEUTICS
INVENTOR(S):	Evanno; Yannick, Bullion, FR George; Pascal, Saint Arnoult en Yvelines, FR Legalloudec; Odette, Morigny, FR Maloizel; Christian, Meudon, FR Sevrin; Mireille, Paris, FR
PATENT ASSIGNEE(S):	Synthelabo, Le Plessis Robinson, FR
PRIMARY EXAMINER:	Powers, Fiona T
AGENT:	Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.

	NUMBER	PK	DATE	
PATENT INFORMATION:	US 6075021	A	20000613	
	(CITED IN 001 LATER PATENTS)			
	WO 9815552		19980416	
APPLICATION INFORMATION:	US 1999-284070		19990407	
	WO 1997-FR1750		19971008	
			19990407	PCT 371 date
			19990407	PCT 102(e) date
EXPIRATION DATE:	8 Oct 2017			

	NUMBER	DATE
PRIORITY APPLN. INFO.:	FR 1996-12229	19961008
FAMILY INFORMATION:	US 6075021	20000613
DOCUMENT TYPE:	Utility CERTIFICATE OF CORRECTION	
CORRECTION DATE:	30 Apr 2002	
FILE SEGMENT:	CHEMICAL GRANTED	
MICROFILM REEL NO:	010431 FRAME NO: 0614 010441 0399 010762 0343	
NUMBER OF CLAIMS:	15	

AB Compounds of general formula (I)

FIG-01

in which the variables are as defined in the specification, their preparation and their application in therapeutics.

CLMN 15

TI 1H-**PYRIDO**(3,4-B)**INDOLE**-4-**CARBOXAMIDE** DERIVATIVES, PREPARATION AND APPLICATION THEREOF IN THERAPEUTICS

ECLM 1. A compound having general formula (I)

1-(O=),2-R2,3,4-(===),4-(R3-N(-R4)-CO-),9-R1,X-2,3,4,9-TETRAHYDRO-1H-**PYRIDO**(3,4-b)**INDOLE** (I)

in which X represents a hydrogen or halogen atom or a (C1 -C3) alkyl, (C1 -C3)alkoxy, trifluoromethyl or. . .

L18 ANSWER 51 OF 68 IFIPAT COPYRIGHT 2005 IFI on STN

AN 03327564 IFIPAT;IFIUDB;IFICDB

R4, RA and R9 each are hydrogen; and that R4, RA and R9 each is not hydrogen when X is oxygen and R3 is OCH3. have valuable pharmacological properties.

CLMN 15

TI **BETA-CARBOLIN**-3-CARBOXYLIC ACID DERIVATIVES USEFUL FOR TREATING ANXIETY AND RELATED DISORDERS; TRANQUILIZERS

AB A **Beta** -**carbolin**-3-carboxylic acid derivative of the formula

D R A W I N G

wherein: X is oxygen,. . .

ECLM 1. A **Beta** -**carboline**-3-carboxylic acid derivative of the formula

3-(R3-C(=X)-),4-R4,RA,9-R9-**BETA-CARBOLINE**

wherein: X is oxygen, sulphur or NR10, wherein R10 is hydrogen or alkyl; R3 is NR11R12, wherein R11. . .

ACLM 2. A **Beta** -**carbolin**-3-carboxylic acid derivative of claim 1, wherein the A-ring has 1-2 RA's.

3. N-methyl- **Beta** -**carbolin**-3-**carboxamide**.

4. N',N'-(dimethyl)- **Beta** -**carbolin**-3-hydrazide, a compound of claim 1.

10. A **Beta** -**carboline**-3-carboxylic acid derivative of the formula

D R A W I N G

wherein: X is oxygen,. . .

11. A **Beta** -**carboline**-3-carboxylic acid derivative of claim 10, wherein R11 and R12 together with the connecting nitrogen atom form pyrrolidine, piperidine, 3-methoxycarbonylpiperidine, 4-hydroxy-3-ethoxycarbonylpiperidine,. . .

12. A **Beta** -**carboline**-3-carboxylic acid derivative of claim 10, wherein X is oxygen.

13. A **Beta** -**carboline**-3-carboxylic acid derivative of claim 1, wherein X is oxygen.

14. A **Beta** -**carboline**-3-carboxylic acid derivative of claim 1, wherein at least one of R11 and R12 is amino optionally substituted with C1-10-alkyl.

15. A **Beta** -**carboline**-3-carboxylic acid derivative of claim 1, wherein R11 and R12 together with the connecting nitrogen atom form pyrrolidine, piperidine, piperidine-3-methoxycarbonyl, piperidine-4-hydroxy-3-ethoxycarbonyl,. . .

L18 ANSWER 66 OF 68 IFIPAT COPYRIGHT 2005 IFI on STN

AN 02075054 IFIPAT;IFIUDB;IFICDB

TITLE: H-**PYRIDO**(2,3-B)**INDOLE**-3-CARBOXYLIC ACID ESTER COMPOUNDS HAVING USEFUL PHARMACEUTICAL ACTIVITY; TREATMENT OF PROPHYLAXIS OF ANXIETY OR DEPRESSION

INVENTOR(S): Forbes, Ian T, Harlow, GB
Thompson, Mervyn, Harlow, GB

PATENT ASSIGNEE(S): Beecham Group plc, Brentford, GB

PRIMARY EXAMINER: Lee, Mary C

ASSISTANT EXAMINER: Davis, Zinna Northington

AGENT: Barr, David K
Evans, Emily A
Haley, Jr, James F

NUMBER	PK	DATE

PATENT INFORMATION: US 4952584 A 19900828
(CITED IN 009 LATER PATENTS)
APPLICATION INFORMATION: US 1989-307068 19890206
EXPIRATION DATE: 28 Aug 2007

	APPLN. NUMBER	DATE	GRANTED PATENT NO. OR STATUS
CONTINUATION-IN-PART OF:	US 1987-1589	19870109	ABANDONED

	NUMBER	DATE
PRIORITY APPLN. INFO.:	GB 1986-651	19860111
	GB 1989-383	19890119
FAMILY INFORMATION:	US 4952584	19900828

DOCUMENT TYPE: Utility
EXPIRED
FILE SEGMENT: CHEMICAL
GRANTED
OTHER SOURCE: CA 114:122347

MICROFILM REEL NO: 005048 FRAME NO: 0242
NUMBER OF CLAIMS: 14
AB A compound of formula (I) or a pharmaceutically acceptable salt thereof:

D R A W I N G

wherein: R1 is hydrogen, C1-6 alkyl, phenyl or phenyl C1-4 alkyl wherein the phenyl moiety is optionally substituted by one or more C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, hydroxy, C2-7 alkanoyl, halo, trifluoromethyl, nitro, amino optionally substituted by one or two C1-6 alkyl groups or by C2-7 alkanoyl, cyano, carbamoyl or carboxy groups; R2, R3 and R4 are independently selected from hydrogen, C1-6 alkyl, C1-6 alkoxy, C1-6 alkoxycarbonyl, C1-6 alkylthio, hydroxy, C2-7 alkanoyl, chloro, fluoro, trifluoromethyl, nitro, amino optionally substituted by one or two C1-6 alkyl groups or by C2-7 alkanoyl, cyano, carbamoyl and carboxy, and phenyl, phenyl C1-4 alkyl or phenyl C1-4 alkoxy in which any phenyl moiety is optionally substituted by any of these groups; R5 and R6 are independently selected from hydrogen, C1-6 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-4 alkyl, C2-6 alkenyl, C1-7 alkanoyl, C1-6 alkylsulphonyl, di-(C1-6 alkyl)amino C1-6 alkyl, 3-oxobutyl, 3hydroxybutyl, phenyl, phenyl C1-4 alkyl, benzoyl, phenyl C2-7 alkanoyl or benzenesulphonyl any of which phenyl moieties are optionally substituted by one or two halogen, C1-6 alkyl, C1-6 alkoxy, CF3, amino or carboxy, or R5 and R6 together are C2-6 polymethylene optionally interrupted by oxygen or NR9 wherein R9 is hydrogen or C1-6 alkyl optionally substituted by hydroxy; R7 is hydrogen, C1-6 alkyl, C3-6 cycloalkyl, C3-6 cycloalkyl-C1-4 alkyl, C2-6 alkenyl or C2-6 alkynyl; and -CO2R8 is a pharmaceutically acceptable ester group, processes for its preparation and its use for the treatment or prophylaxis of anxiety or depression.

CLMN 14
TI H-**PYRIDO**(2,3-B)**INDOLE**-3-CARBOXYLIC ACID ESTER COMPOUNDS HAVING USEFUL PHARMACEUTICAL ACTIVITY; TREATMENT OF PROPHYLAXIS OF ANXIETY OR DEPRESSION
ACLM 8. 4-Amino-2-methyl-9H-**pyrido**(2,3-b)**indole**-3-carboxylic acid, methyl ester, 4-amino-2,9-dimethyl-9H-**pyrido**(2,3-b)**indole**-3-carboxylic acid, methyl ester, 4-amino-2-methyl-9H-**pyrido**(2,3-b)**indole**-3-carboxylic acid, ethyl ester, 4-amino-6-chloro-2,9-dimethyl-9H-**pyrido**(2,3-b)**indole**-3-carboxylic acid, methyl ester, 4-amino-9-methyl-2-phenyl-9H-**pyrido**(2,3-b)**indole**-3-carboxylic acid, methyl ester, 4-n-butylamino-2,9-dimethyl-9H-

N-((5-Methoxy-2-methyl-1-(2-(4-morpholinyl)ethyl)-1H-indol-3-yl)carbonyl)-L -phenylalanine methyl ester; N-((6-Methoxy-2-methyl-1-(2-(4-morpholinyl)ethyl)-1H-indol-3-yl) carbonyl)-L-phenylalanine methyl ester; N-((7-Hydroxy-2-methyl-1-(2-(4-morpholinyl)ethyl)-1H-indol-3-yl)carbonyl)-L -phenylalaninamide; N-((2,7-Dimethyl-1-(2-(4-morpholinyl)ethyl)-1H-indol-3-yl)carbonyl)-L -phenylalanine methyl ester; N-((7-Methoxy-2-methyl-1-(2-(4-morpholinyl)ethyl)-1H-indol-3-yl) carbonyl)-L-tyrosine methyl ester; N-((7-Methoxy-2-methyl-1-(2-(4-morpholinyl)ethyl)-1H-indol-3-yl)carbonyl)-3 -methyl-L-valine methyl ester; N2-((7-Methoxy-2-methyl-1-(2-(4-morpholinyl)ethyl) -1H-indol-3-yl)carbonyl)-N,N-dimethyl-L-phenylalaninamide; (1S)-N-(1-(Hydroxymethyl)-2-phenylethyl)-2-methyl-1-(2-(4-morpholinyl)ethyl)-1H-indole-3-**carboxamide**;
N-((2-Methyl-1-(2-(4-morpholinyl)ethyl)-1H-indol-3-yl)carbonyl)-L -phenylalanine methyl ester; N-((7-Methoxy-2-methyl-1-(2-(4-morpholinyl)ethyl)-1H-indol-3-yl)carbonyl)-L -phenylalanine methyl ester; 7-Methoxy-2-methyl-1-(2-(4-morpholinyl)ethyl)-N-(1,3,3-trimethylbicyclo(2. 2.1)heptan-2-yl)-1H-indole-3-**carboxamide**; (alpha S)- alpha -(((7-Methoxy-2-methyl-1-(2-(4-morpholinyl)ethyl)-1H-indol-3-yl)
carbonyl)amino)-2-thiophenepropanoic acid methyl ester;
N-((7-Methoxy-2-methyl-1-(2-(4-morpholinyl)ethyl)-6-aza-1H-indol-3-yl) carbonyl)-L-phenylalanine methyl ester; N-((7-Methoxy-1-(2-(4-morpholinyl)ethyl)-1H-indazol-3-yl)carbonyl)-L -phenylalanine methyl ester; 7-Methoxy-1-(2-(4-morpholinyl)ethyl)-N-(1,3,3-trimethylbicyclo(2.2.1)heptan -2-yl)-1H-indazol-3-**carboxamide**;
N-((7-Methoxy-1-(2-(4-morpholinyl)ethyl)-1H-indazol-3-yl)carbonyl) -R-amphetamide; (alpha S)- alpha -(((7-Methoxy-2-methyl-1-(2-(4-morpholinyl)ethyl)-1H-indol-3 -yl)carbonyl)amino)-2-thiazolepropanoic acid methyl ester; 7-Methoxy-2-methyl-N-((1S)-1-(3-methyl)-tetrazolyl)-2-phenylethyl)-1-(2-(4 -morpholinyl) ethyl)-1H-indole-3-**carboxamide**
; 7-Methoxy-2-methyl-N-((1S)-1-(2-methyl) -tetrazolyl)-2-phenylethyl)-1-(2-(4-morpholinyl)ethyl)-1H-indole-3 -**carboxamide**;
N-((7-Methoxy-1-(2-(4-morpholinyl) ethyl)-1H-indol-3-yl)carbonyl)-L-phenylalanine methyl ester; N-((7-Methoxy-1-(2-(4-morpholinyl)ethyl)-1H-indazol-3-yl)carbonyl) -1-naphthyl amide; 7-Methoxy-1-(2-(4-morpholinyl)ethyl)-N-(1,3, 3-trimethylbicyclo(2.2.1)heptan-2-yl)-1H-indole-3-**carboxamide**; 2-Methyl-1-(2-(4-morpholinyl)ethyl)-N-(1,3,3-trimethylbicyclo(2. 2.1)heptan-2-yl)-1H-pyrrole-3-**carboxamide**; 2,5-Dimethyl-N-((1R)-1-methyl-2-phenylethyl)-1-(2-(4-morpholinyl)ethyl)-1H -pyrrole-3-**carboxamide**;
N-((2,5-Dimethyl-1-(2-(4-morpholinyl)ethyl)-1H-pyrrol-3-yl)carbonyl)-L -phenylalanine methyl ester; N-((2-Methyl-1-(2-(4-morpholinyl)ethyl)-1H-pyrrol-3-yl)carbonyl)-L -phenylalanine methyl ester; 2-Methyl-N-((1S)-1-(3-methyl-1,2,4-oxadiazol-5-yl)-2-phenylethyl)-1-(2-(4 -morpholinyl)ethyl)-1H-pyrrole-3-**carboxamide**;
N-((1-(2-(4-Morpholinyl)ethyl)-1H-imidazol-4-yl)carbonyl)-L-phenylalanine methyl ester; N-((1-(2-Phenoxyethyl)-1H-imidazol-4-yl)carbonyl)-L-phenylalanine methyl ester; and N-((1-Pentyl-1H-imidazol-4-yl)carbonyl)-L-phenylalanine methyl ester; and (ii) a pharmaceutically-acceptable salt or hydrate thereof.

=> d his

(FILE 'HOME' ENTERED AT 16:47:52 ON 14 MAR 2005)

FILE 'CAPLUS' ENTERED AT 16:48:12 ON 14 MAR 2005

L1	4000	S	B(W)CARBOLIN?
L2	29	S	3-HYDROXAMIC(3A)ACID
L3	0	S	L1 AND L2
L4	2431	S	PYRIDO(4A)INDOL?
L5	0	S	L2 AND L4
L6	17060	S	CARBOXAMIDE

```
L7          108 S L1 AND L6
L8         1536 S RAUWOLFIA
L9          117 S NORHARMANE
L10        7516 S L1 OR L4 OR L8 OR L9
L11       23223 S "HYDROXAMIC ACID" OR CARBOXAMIDE
L12         148 S L10 AND L11
L13         143 S "CARBOXYLIC ACID"(4A)HYDROXY(3A)AMIDE
L14       23352 S L11 OR L13
L15         149 S L10 AND L14
L16           1 S L15 NOT L12

     INDEX 'CAOLD, CAPLUS, CASREACT, CROPU, DGENE, DPCI, ENCOMPPAT, EPFULL,
     FRANCEPAT, FRFULL, FSTA, IFIPAT, IMSPATENTS, INPADOC, JAPIO, KOREAPAT,
     LITALERT, NTIS, PAPERCHEM2, PATDD, PATDPA, PATDPAFULL, PCTFULL, PCTGEN,
     PIRA, PROUSDDR, PS, RAPRA, RDISCLOSURE, ...' ENTERED AT 17:00:01 ON 14
     MAR 2005
               SEA L15
              ---------
             149   FILE CAPLUS
              20   FILE CASREACT
               4   FILE DGENE
               3   FILE DPCI
               1   FILE ENCOMPPAT
             216   FILE EPFULL
               2   FILE FRANCEPAT
              11   FILE FRFULL
              68   FILE IFIPAT
              24   FILE INPADOC
               1   FILE JAPIO
               1   FILE KOREAPAT
               2   FILE NTIS
               7   FILE PATDPAFULL
             251   FILE PCTFULL
              60   FILE PROUSDDR
               5   FILE SYNTHLINE
             839   FILE USPATFULL
             108   FILE USPAT2
              16   FILE WPIDS
              16   FILE WPINDEX
L17             QUE L15
              ---------

     FILE 'IFIPAT' ENTERED AT 17:04:06 ON 14 MAR 2005
L18          68 S L15

=> fil beilstein
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     257.96     372.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00     -15.33

FILE 'BEILSTEIN' ENTERED AT 17:13:49 ON 14 MAR 2005
COPYRIGHT (c) 2005 Beilstein-Institut zur Foerderung der Chemischen Wissenschaften
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FILE RELOADED ON OCTOBER 20, 2002
FILE LAST UPDATED ON February 14, 2005

FILE COVERS 1771 TO 2004.
*** FILE CONTAINS  9,133,317 SUBSTANCES ***
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>>>PLEASE NOTE: Reaction Data and substance data are stored in
   separate documents and can not be searched together in one query.
   Reaction data for BEILSTEIN compounds may be displayed
   immediately with the display codes PRE (preparations) and REA
   (reactions).  A substance answer set retrieved after the search
   for a chemical name, a compounds with available reaction
   information by combining with PRE/FA, REA/FA or more generally
   with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
   between a BEILSTEIN compound and belonging reactions. For mo
   detailed reaction searches BRNs can be searched as reaction
   partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE  <<<

**********************************************************************
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.                 *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE    *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE           *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                         *
* FOR PRICE INFORMATION SEE HELP COST                                 *
**********************************************************************
    NEW
* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE
  SEARCHED, SELECTED AND TRANSFERRED.
* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,
  ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A
  COMPOUND AT A GLANCE.

=> s L15
        360373 B
                 (BETA)
          9855 CARBOLIN?
          9559 B(W)CARBOLIN?
         50432 PYRIDO
        210416 INDOL?
          9421 PYRIDO(4A)INDOL?
           362 RAUWOLFIA
             2 NORHARMANE
           159 "HYDROXAMIC"
       2956171 "ACID"
           560 "ACIDS"
       2956481 "ACID"
                 ("ACID" OR "ACIDS")
           148 "HYDROXAMIC ACID"
                 ("HYDROXAMIC"(W)"ACID")
         11207 CARBOXAMIDE
        591511 "CARBOXYLIC"
       2956171 "ACID"
           560 "ACIDS"
       2956481 "ACID"
                 ("ACID" OR "ACIDS")
        591312 "CARBOXYLIC ACID"
                 ("CARBOXYLIC"(W)"ACID")
        955798 HYDROXY
        142153 AMIDE
            48 AMIDES
        142197 AMIDE
                 (AMIDE OR AMIDES)
          3219 "CARBOXYLIC ACID"(4A)HYDROXY(3A)AMIDE
L19        118 L10 AND L14

=> d L19 ibib abs 100-118
```

'IBIB' IS NOT A VALID FORMAT FOR FILE 'BEILSTEIN'
'ABS' IS NOT A VALID FORMAT FOR FILE 'BEILSTEIN'

The following are valid formats:

QRD --------- Query Related Data (IDE plus HIT)
IDE --------- Identification of Substance, plus Structure
ALL --------- All Display fields (Lengthy displaye)
CHE --------- Chemical Data
PHY --------- Physical Data
HIT --------- All fields containing hit terms
Hit terms will be highlighted in all IDE fields in the BEILSTEIN file
A maximum of 20 values are displayed in each single property field.
Use DISPLAY F<prop> for FULL format, e.g. FBP instead of BP.
For more information about display formats, and how to display
individual selected properties, enter 'HELP FORMAT' at an arrow
prompt, e.g. => HELP FORMAT.
ENTER DISPLAY FORMAT (QRD):end

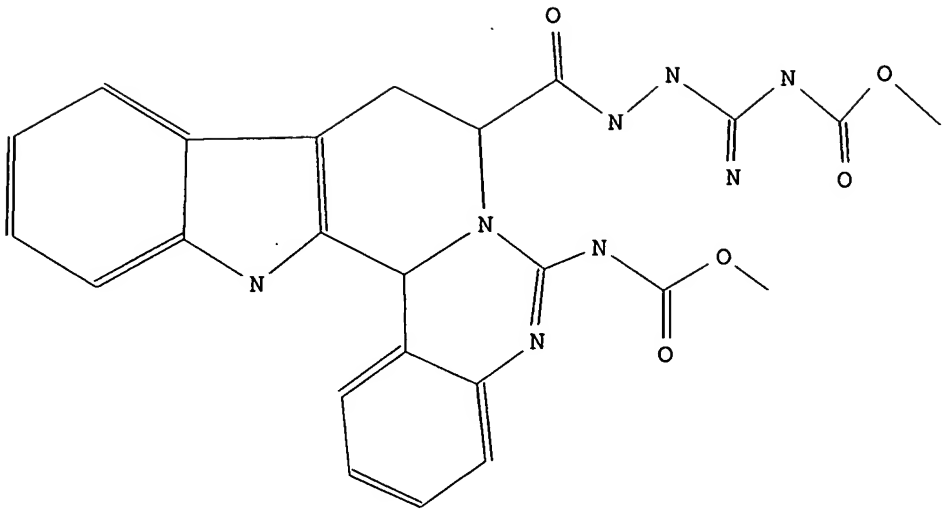
=> d L19 ti,au,so hit 100-118
'AU' IS NOT A VALID FORMAT FOR FILE 'BEILSTEIN'
'SO' IS NOT A VALID FORMAT FOR FILE 'BEILSTEIN'

The following are valid formats:

QRD --------- Query Related Data (IDE plus HIT)
IDE --------- Identification of Substance, plus Structure
ALL --------- All Display fields (Lengthy displaye)
CHE --------- Chemical Data
PHY --------- Physical Data
HIT --------- All fields containing hit terms
Hit terms will be highlighted in all IDE fields in the BEILSTEIN file
A maximum of 20 values are displayed in each single property field.
Use DISPLAY F<prop> for FULL format, e.g. FBP instead of BP.
For more information about display formats, and how to display
individual selected properties, enter 'HELP FORMAT' at an arrow
prompt, e.g. => HELP FORMAT.
ENTER DISPLAY FORMAT (QRD):qrd

L19 ANSWER 100 OF 118 BEILSTEIN COPYRIGHT 2005 BEILSTEIN MDL on STN

Beilstein Records (BRN):	4577464
Beilstein Pref. RN (BPR):	107292-70-6
CAS Reg. No. (RN):	107292-70-6
Chemical Name (CN):	**1,3,4,9-Tetrahydro-1-(2-hydroxy-2-methylcyclohexyl)-N-2-propenyl-2H-pyrido<3,4-b>indole-2-carboxamide**
Autonom Name (AUN):	**1-(2-hydroxy-2-methyl-cyclohexyl)-1,3,4,9-tetrahydro-β-carboline-2-carboxylic acid allylamide**
Molec. Formula (MF):	C22 H29 N3 O2
Molecular Weight (MW):	367.49
Lawson Number (LN):	28394, 2947, 1762
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	4126534
Tautomer ID (TAUTID):	4409340
Beilstein Citation (BSO):	6-23
Entry Date (DED):	1991/12/02
Update Date (DUPD):	1993/03/20



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1
LSF	Linearized Structure Formula	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
MP	Melting Point	1

This substance also occurs in Reaction Documents:

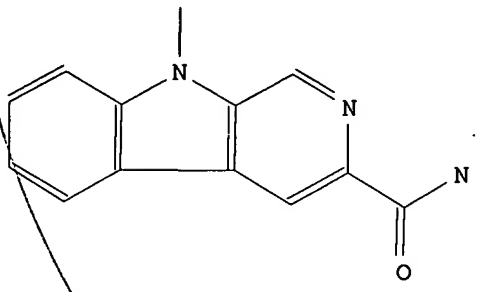
Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> d L19 qrd 1-79

L19 ANSWER 1 OF 118 BEILSTEIN COPYRIGHT 2005 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9627510
Chemical Name (CN): **N-methyl-β-carboline-3-carboxamide**, FG 7142
Autonom Name (AUN): **9-methyl-9H-β-carboline-3-carboxylic acid amide**
Molec. Formula (MF): C13 H11 N3 O

Molecular Weight (MW): 225.25
Lawson Number (LN): 29236, 2817
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 8114843
Tautomer ID (TAUTID): 9026611
Entry Date (DED): 2004/07/21
Update Date (DUPD): 2004/07/21



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	2
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
CPD	Crystal Property Description	1
MP	Melting Point	1
MS	Mass Spectrum	1
NMR	Nuclear Magnetic Resonance	3
PHARM	Pharmacological Data	1

L19 ANSWER 2 OF 118 BEILSTEIN COPYRIGHT 2005 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9588969
Chemical Name (CN): **(+/-) trans-1-(4-methoxyphenyl)-N-(phenylmethyl)-2,3,4,9-tetrahydro-1H-pyrido<3,4-b>indole-3-carboxamide**
Autonom Name (AUN): **1-(4-methoxy-phenyl)-2,3,4,9-tetrahydro-1H-β-carboline-3-carboxylic acid benzylamide**
Molec. Formula (MF): C26 H25 N3 O2
Molecular Weight (MW): 411.50
Lawson Number (LN): 29323, 14140, 289
File Segment (FS): racemate, Stereo compound
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 8085068
Tautomer ID (TAUTID): 8998276
Entry Date (DED): 2004/04/23
Update Date (DUPD): 2004/04/23

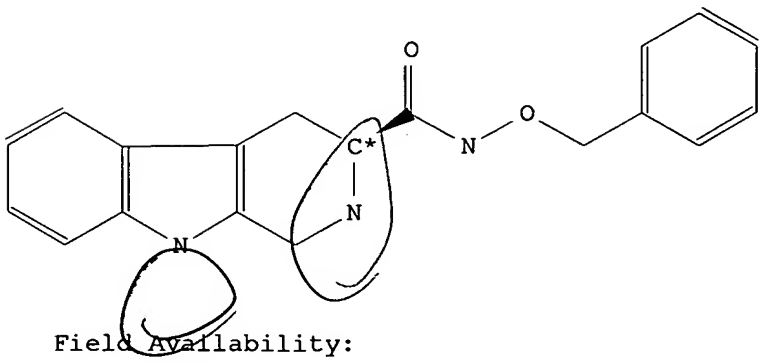
PHARM	Pharmacological Data	5

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

L19 ANSWER 7 OF 118 BEILSTEIN COPYRIGHT 2005 BEILSTEIN MDL on STN

Beilstein Records (BRN):	9076718
Chemical Name (CN):	**(3R)-N-benzyloxy-1,3,4,9-tetrahydro-β-carboline-3-carboxamide**
Autonom Name (AUN):	**2,3,4,9-tetrahydro-1H-β-carboline-3-carboxylic acid benzyloxy-amide**
Molec. Formula (MF):	C19 H19 N3 O2
Molecular Weight (MW):	321.38
Lawson Number (LN):	29228, 5228
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7670629
Tautomer ID (TAUTID):	8530244
Entry Date (DED):	2002/07/19
Update Date (DUPD):	2002/07/19



Field Availability:

Code	Name	Occurrence
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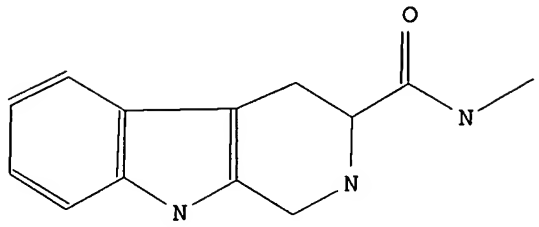
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1

This substance also occurs in Reaction Documents:

Constitution ID (CONSID): 4009099
Tautomer ID (TAUTID): 6213514
Beilstein Citation (BSO): 6-25
Entry Date (DED): 1994/04/18
Update Date (DUPD): 1994/04/18



Fragment Notes:
Stereo Descriptor: D

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
MP	Melting Point	1
ORP	Optical Rotatory Power	1

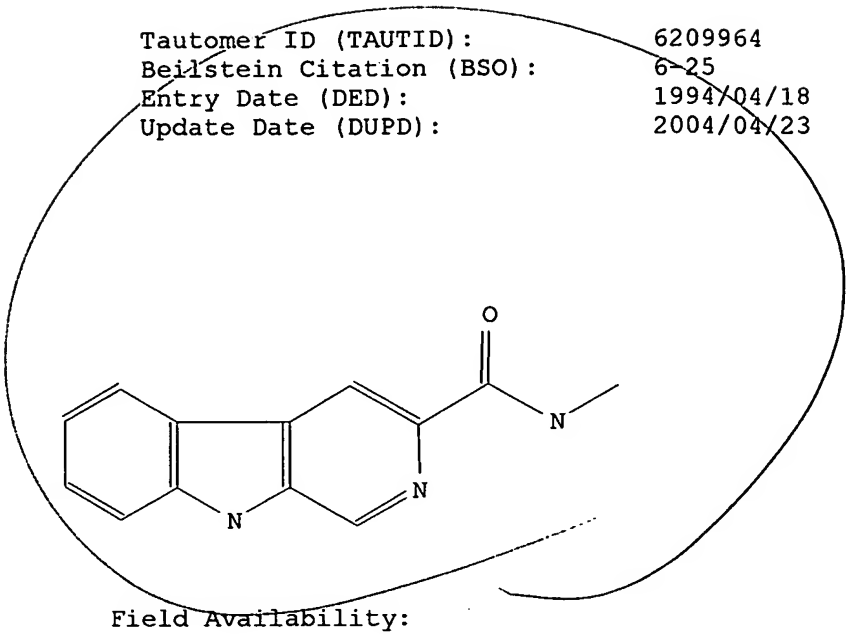
This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

L19 ANSWER 73 OF 118 BEILSTEIN COPYRIGHT 2005 BEILSTEIN MDL on STN

Beilstein Records (BRN): 6569956
Chemical Name (CN): **N-methyl β-carboline-3-carboxamide**
Autonom Name (AUN): **9H-β-carboline-3-carboxylic acid methylamide**
Molec. Formula (MF): C13 H11 N3 O
Molecular Weight (MW): 225.25
Lawson Number (LN): 29236, 2817
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 5657806

Tautomer ID (TAUTID): 6209964
Beilstein Citation (BSO): 6-25
Entry Date (DED): 1994/04/18
Update Date (DUPD): 2004/04/23



Field Availability:

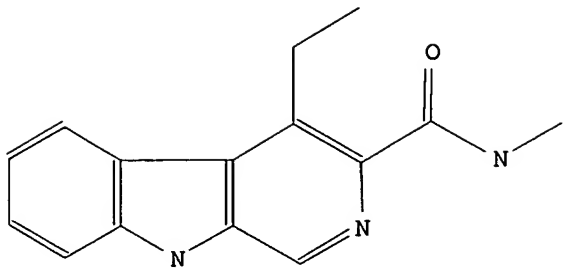
Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
COEV	Concentration in Environment	2
MP	Melting Point	1
MS	Mass Spectrum	1
PHARM	Pharmacological Data	16
UVS	UV and Visible Spectrum	2

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	5
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	4

L19 ANSWER 74 OF 118 BEILSTEIN COPYRIGHT 2005 BEILSTEIN MDL on STN

Beilstein Records (BRN): 6526501
Chemical Name (CN): **L-N-n-octyl-1,2,3,4-tetrahydro-β-carboline-3-carboxamide**
Autonom Name (AUN): **2,3,4,9-tetrahydro-1H-β-carboline-3-carboxylic acid octylamide**
Molec. Formula (MF): C20 H29 N3 O
Molecular Weight (MW): 327.47
Lawson Number (LN): 29228, 2872
File Segment (FS): Stereo compound
Compound Type (CTYPE): heterocyclic



Field Availability:

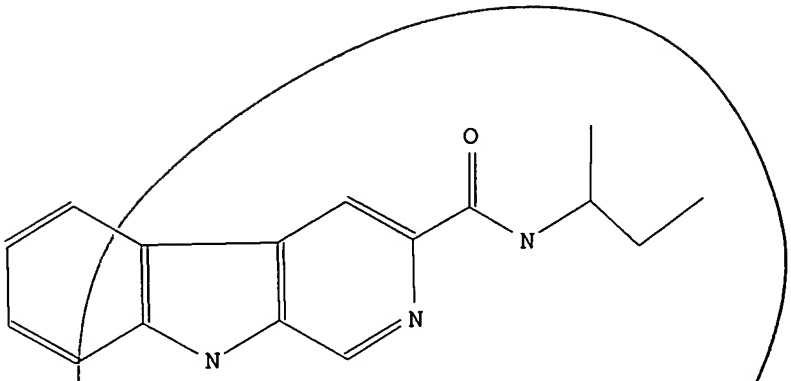
Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	2
PHARM	Pharmacological Data	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

L19 ANSWER 78 OF 118 BEILSTEIN COPYRIGHT 2005 BEILSTEIN MDL on STN

Beilstein Records (BRN):	6515214
Chemical Name (CN):	**N-sec-butyl-β-carboline-3-carboxamide**
Autonom Name (AUN):	**9H-β-carboline-3-carboxylic acid sec-butyl-amide**
Molec. Formula (MF):	C16 H17 N3 O
Molecular Weight (MW):	267.33
Lawson Number (LN):	29236, 2845
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	5664652
Tautomer ID (TAUTID):	6219571
Beilstein Citation (BSO):	6-25
Entry Date (DED):	1994/04/18
Update Date (DUPD):	1994/04/18



Field Availability:

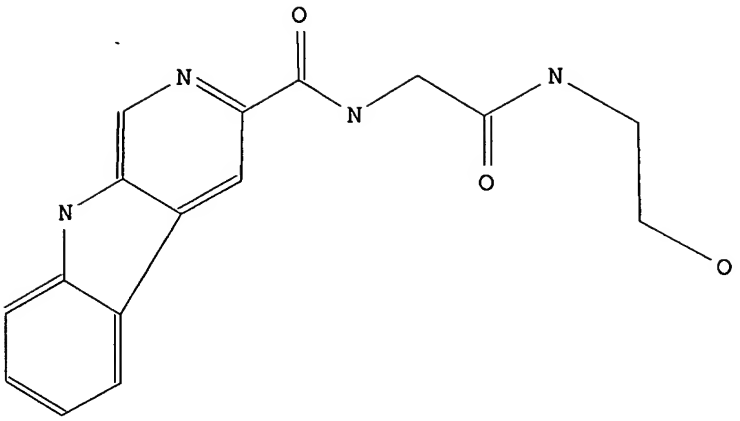
Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
MP	Melting Point	1
ORP	Optical Rotatory Power	2

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXPRO	Substance is Reaction Product	2

L19 ANSWER 79 OF 118 BEILSTEIN COPYRIGHT 2005 BEILSTEIN MDL on STN

Beilstein Records (BRN):	6234187
Chemical Name (CN):	**9H-β-carboline-3-carboxylic acid <(2-hydroxy-ethylcarbamoyl)-methyl>-amide**
Autonom Name (AUN):	**9H-β-carboline-3-carboxylic acid <(2-hydroxy-ethylcarbamoyl)-methyl>-amide**
Molec. Formula (MF):	C16 H16 N4 O3
Molecular Weight (MW):	312.33
Lawson Number (LN):	29236, 3379, 3122
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	5445089
Tautomer ID (TAUTID):	5951410
Beilstein Citation (BSO):	6-25
Entry Date (DED):	1993/10/20
Update Date (DUPD):	1993/10/20



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
MP	Melting Point	1
PHARM	Pharmacological Data	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> fil caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1200.90	1573.29

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-15.33

FILE 'CAOLD' ENTERED AT 17:23:00 ON 14 MAR 2005

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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

  This file supports REG1stRY for direct browsing and searching of
  all substance data from the REGISTRY file.  Enter HELP FIRST for
  more information.

=> s L15
         31490 BETA
             5 BETAS
         31495 B
                 (BETA OR BETAS)
           235 CARBOLIN?
           105 B(W)CARBOLIN?
           145 PYRIDO
          4038 INDOL?
            13 PYRIDO(4A)INDOL?
           594 RAUWOLFIA
             3 RAUWOLFIAS
           597 RAUWOLFIA
                 (RAUWOLFIA OR RAUWOLFIAS)
             2 NORHARMANE
           294 "HYDROXAMIC"
        145227 "ACID"
         68234 "ACIDS"
        203842 "ACID"
                 ("ACID" OR "ACIDS")
           285 "HYDROXAMIC ACID"
                 ("HYDROXAMIC"(W)"ACID")
           132 CARBOXAMIDE
           120 CARBOXAMIDES
           251 CARBOXAMIDE
                 (CARBOXAMIDE OR CARBOXAMIDES)
          6430 "CARBOXYLIC"
        145227 "ACID"
         68234 "ACIDS"
        203842 "ACID"
                 ("ACID" OR "ACIDS")
          5819 "CARBOXYLIC ACID"
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          8841 HYDROXY
          1810 AMIDE
          3891 AMIDES
          5642 AMIDE
                 (AMIDE OR AMIDES)
            28 "CARBOXYLIC ACID"(4A)HYDROXY(3A)AMIDE
L20          0 L10 AND L14

=> d his

     (FILE 'HOME' ENTERED AT 16:47:52 ON 14 MAR 2005)

     FILE 'CAPLUS' ENTERED AT 16:48:12 ON 14 MAR 2005
L1          4000 S B(W)CARBOLIN?
L2            29 S 3-HYDROXAMIC(3A)ACID
L3             0 S L1 AND L2
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```
L4          2431 S PYRIDO(4A)INDOL?
L5             0 S L2 AND L4
L6         17060 S CARBOXAMIDE
L7           108 S L1 AND L6
L8          1536 S RAUWOLFIA
L9           117 S NORHARMANE
L10         7516 S L1 OR L4 OR L8 OR L9
L11        23223 S "HYDROXAMIC ACID" OR CARBOXAMIDE
L12          148 S L10 AND L11
L13          143 S "CARBOXYLIC ACID"(4A)HYDROXY(3A)AMIDE
L14        23352 S L11 OR L13
L15          149 S L10 AND L14
L16            1 S L15 NOT L12

     INDEX 'CAOLD, CAPLUS, CASREACT, CROPU, DGENE, DPCI, ENCOMPPAT, EPFULL,
     FRANCEPAT, FRFULL, FSTA, IFIPAT, IMSPATENTS, INPADOC, JAPIO, KOREAPAT,
     LITALERT, NTIS, PAPERCHEM2, PATDD, PATDPA, PATDPAFULL, PCTFULL, PCTGEN,
     PIRA, PROUSDDR, PS, RAPRA, RDISCLOSURE, ...' ENTERED AT 17:00:01 ON 14
     MAR 2005
                SEA L15
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              149   FILE CAPLUS
               20   FILE CASREACT
                4   FILE DGENE
                3   FILE DPCI
                1   FILE ENCOMPPAT
              216   FILE EPFULL
                2   FILE FRANCEPAT
               11   FILE FRFULL
               68   FILE IFIPAT
               24   FILE INPADOC
                1   FILE JAPIO
                1   FILE KOREAPAT
                2   FILE NTIS
                7   FILE PATDPAFULL
              251   FILE PCTFULL
               60   FILE PROUSDDR
                5   FILE SYNTHLINE
              839   FILE USPATFULL
              108   FILE USPAT2
               16   FILE WPIDS
               16   FILE WPINDEX
L17             QUE L15
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     FILE 'IFIPAT' ENTERED AT 17:04:06 ON 14 MAR 2005
L18            68 S L15

     FILE 'BEILSTEIN' ENTERED AT 17:13:49 ON 14 MAR 2005
L19           118 S L15

     FILE 'CAOLD' ENTERED AT 17:23:00 ON 14 MAR 2005
L20            0 S L15

=> fil casreact
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              23.83    1597.12

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                               0.00     -15.33
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FILE 'CASREACT' ENTERED AT 17:23:48 ON 14 MAR 2005
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

FILE CONTENT:1840 - 13 Mar 2005 VOL 142 ISS 11

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************************************************************
*                                                          *
*     CASREACT now has more than  8 million reactions      *
*                                                          *
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Some CASREACT records are derived from the ZIC/VINITI database (1974-1991)
provided by InfoChem, INPI data prior to 1986, and Biotransformations
database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance
identification.

```
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         72060 BETA
             2 BETAS
         72060 B
                 (BETA OR BETAS)
           876 CARBOLIN?
           670 B(W)CARBOLIN?
          2571 PYRIDO
         14476 INDOL?
           431 PYRIDO(4A)INDOL?
            30 RAUWOLFIA
             6 NORHARMANE
             1 NORHARMANES
             7 NORHARMANE
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           762 "HYDROXAMIC"
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          2506 CARBOXAMIDE
          1233 CARBOXAMIDES
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         14760 AMIDE
         10936 AMIDES
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         20403 AMIDE
                 (AMIDE OR AMIDES)
            21 "CARBOXYLIC ACID"(4A)HYDROXY(3A)AMIDE
L21         20 L10 AND L14

=> d L21 1-20 ibib abs


L21  ANSWER 1 OF 20  CASREACT  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        140:111299  CASREACT
TITLE:                   Unexpected ipso-substitutions at the β -
                         carboline nucleus
AUTHOR(S):               Kast, Oliver; Bracher, Franz
CORPORATE SOURCE:        Zentrum fuer Pharmaforschung, Department Pharmazie,
                         Ludwig-Maximilians-Universitaet, Munich, Germany
SOURCE:                  Synthetic Communications (2003), 33(22), 3843-3850
                         CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER:               Marcel Dekker, Inc.
DOCUMENT TYPE:           Journal
LANGUAGE:                English
AB   Minisci-type radical carbamoylation of 1-bromo-β -
     carboline (I) gives the 3-substituted product in low yield,
     whereas 1-acetyl-β -carboline (II) reacts under
     ipso-substitution of the acetyl group.  Cyanations of the N-oxides of I
     and II occur under clean ipso-substitution of the groups in 1-position.
     1-Me derivs. show no tendency to react under ipso-substitution.
REFERENCE COUNT:         29    THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT


L21  ANSWER 2 OF 20  CASREACT  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        139:381394  CASREACT
TITLE:                   Synthesis and characterization of the aqueous solution
                         chemistry of the food-derived carcinogen model
                         N-acetoxy-N-(1-methyl-5H-pyrido[4,5-b]
                         indol-3-yl)acetamide and its N-pivaloyloxy
                         analogue
AUTHOR(S):               Rajagopal, Sridharan; Brooks, Michael E.; Nguyen,
                         Thach-Mien; Novak, Michael
CORPORATE SOURCE:        Hughes Laboratory, Department of Chemistry and
                         Biochemistry, Miami University, Oxford, OH, 45056, USA
SOURCE:                  Tetrahedron (2003), 59(40), 8003-8010
                         CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER:               Elsevier Science B.V.
DOCUMENT TYPE:           Journal
LANGUAGE:                English
AB   The synthesis of N-acetyl-N-(acetyloxy)-1-methyl-5H-pyrido
     [4,3-b]indol-3-amine (I) and N-acetyl-N-(2,2-dimethyl-1-
     oxopropoxy)-1-methyl-5H-pyrido[4,3-b]indol-3-amine
     (II) were reported.  In aqueous solution at neutral pH, I primarily undergoes
C-O
     bond cleavage to yield the hydroxamic acid, 8, but
     under the same conditions the sterically hindered II decomps.
     predominately by N-O bond cleavage with a pH independent rate constant that
     is 7.5-fold smaller than that for I .  In the pH range 0.5-7.0 three
     different processes for the decomposition of II were detected by kinetics.
     Only the process that dominates at neutral pH generates a nitrenium
     species that can be trapped by N-3.
REFERENCE COUNT:         37    THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT


L21  ANSWER 3 OF 20  CASREACT  COPYRIGHT 2005 ACS on STN
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hydroxyl, dihydroxy, carboxyl, -C(O)NRaRb, -NRaRb, -NRaC(O)NRaRb, -NRaC(O)ORa, -OC(O)NRaRb, or -NHC(O)Ra). R2 is H or a (un)substituted alkyl (substituent = hydroxyl, dihydroxyl, carboxyl, -C(O)NRaRb, -NRaRb, -NRaC(O)NRaRb, -NRaC(O)ORa, -OC(O)NRaRb, or -NHC(O)Ra), or R1, R2 and N together form a substituted piperazine, substituted azetidine, or a pyrrolidine ring substituted with -(CH2)2OH or -CH2C(O)OH. R3 is a (un)substituted Ph or a 5-6 membered heteroaryl ring, wherein the substituent is halogen, hydroxyl, cyano, (C1-C15)alkyl, (C1-C15)alkoxyl or -NRaRb; R4 is H or (un)substituted (C1-C15)alkyl; R5 is -(CH2)mOR6, -CHNOR7, -C(O)NR8R9, -(CH2)mC(O)OR10, -(CH2)kC(O)NR11R12; addnl. details are given in the claims. Radioligand binding assays yielded selectivities for the A2b receptor relative to the A1, A2a and A3 receptors for 9 examples of I, e.g. 26 times for II. About 26 example prepns. of I and intermediates and characterization data for hundreds of I and intermediates are included. For example, III can be prepared by reacting 4-chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine with PhSO2Cl and a reducing agent in the presence of solvent to produce 7-benzenesulfonyl-4-chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine, which was reacted with CO2 in the presence of LDA and a solvent to produce lithium 7-benzenesulfonyl-4-chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carboxylate, which was reacted with AcNHCH2CH2NH2 in the presence of solvent to give 4-(2-acetylaminoethylamino)-7-benzenesulfonyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carboxylic acid, which was deprotected with a hydroxide base and subsequently condensed with amines.

L21 ANSWER 6 OF 20 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:340858 CASREACT
TITLE: Synthesis of β -**carboline**-3-**carboxamides** and their interaction with DNA
AUTHOR(S): Lin, Wei; Xiao, Sulong; Yang, Ming
CORPORATE SOURCE: National Laboratory of Natural and Biomimetic Drugs, Peking University, Beijing, 100083, Peop. Rep. China
SOURCE: Beijing Daxue Xuebao, Yixueban (2001), 33(3), 277-279
CODEN: BDXYAH
PUBLISHER: Beijing Daxue
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
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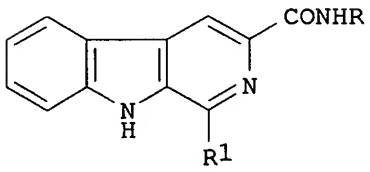

I

AB Title compds. I (R 1 = H or Me; R = 2-aminoethyl, 6-aminohexyl, 2-diethylaminoethyl, or 3-dimethylaminopropyl) were synthesized from tryptophan via esterification with methanol, cyclization with formaldehyde in the presence of 28% NH4OH, oxidization with Pb(OAc)4, and substitution with RNH2. The interaction between the synthetic compds. with DNA was studied. There was intercalation reaction of the synthetic compds. with DNA. The IC50 of the synthetic compds. to HL-60, KB, HeLa, and BGC cells was presented. The δTm of the synthetic compds. to CT-DNA, thermodn. parameters at 25° for the synthetic compds. binding on DNA, and intrinsic binding consts. and number of binding sites for the synthetic compds. with CT-DNA were presented.

-3-(N-phenyl)**carboxamide** with methyllithium, iodine and Me iodide. I in the presence of catalytic palladium acetate and tri-o-tolylphosphine in acetonitrile and triethylamine reacted with a variety of unsatd. substrates (styrenes, acrylate, tributyl(vinyl)tin, trimethylsilylacetylene) to give the corresponding C-4 coupled adducts.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 9 OF 20 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 124:117133 CASREACT
TITLE: Regioselective metalation of 9-methoxymethyl-.**beta.-carboline**-3-**carboxamides** with amidomagnesium chlorides
AUTHOR(S): Schlecker, Wolfgang; Huth, Andreas; Ottow, Eckhard; Mulzer, Johann
CORPORATE SOURCE: Schering AG Berlin, Berlin, D-13353, Germany
SOURCE: Synthesis (1995), (10), 1225-7
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Thieme
DOCUMENT TYPE: Journal
LANGUAGE: English
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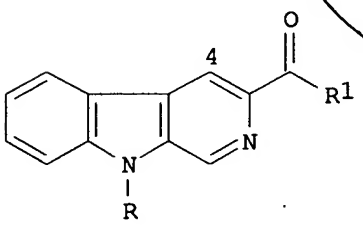
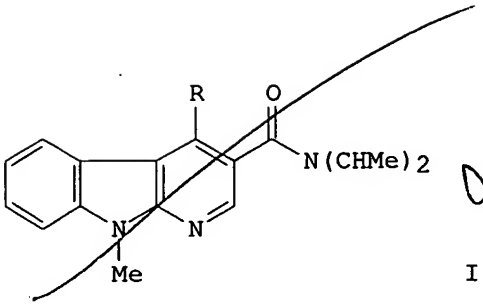


I

AB The N-protected β -**carbolines** I (R = CH2OMe; R1 = NHCMe3, NHMe) underwent exclusive metalation at C(4) with R2MgCl (R2 = 2,2,6,6-tetramethylpiperidino) and/or Et2NMgCl, whereas the unprotected .**beta.-carboline** I (R = H; R1 = NHMe) was inert under these conditions. The C(4) metalated species reacted with electrophiles to give 3,4-disubstituted β -**carbolines**, which are interesting precursors to physiol. active compds.

L21 ANSWER 10 OF 20 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 121:205309 CASREACT
TITLE: Study of the lithiation of 3-substituted α-carbolines. A new route to 3,4-disubstituted derivatives
AUTHOR(S): Papamicael, Cyril; Dupas, Georges; Bourguignon, Jean; Queguiner, Guy
CORPORATE SOURCE: Inst. Natl. Sci. Appliquees Rouen, CNRS, Mont-Saint-Aignan, 76131, Fr.
SOURCE: Tetrahedron Letters (1994), 35(24), 4099-102
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

NO alpha -carboline



α-carboline

I

AB The preparation of new 3-substituted α-carbolines (1H- **pyrido**[2,3-b]**indole** derivs.) was described and these products were subjected to ortho-lithiation expts. 3-Pivalamido and 3-carboxamido derivs. are cleanly lithiated at 4-position. The results are correlated with MNDO calcns. Various 3,4-disubstituted α-carbolines are obtained in excellent yields. Thus, 9-methyl-N,N-diisopropyl-1H-**pyrido**[2,3-b]**indole**-3-**carboxamide** I(R = H) was treated with lithium 2,2,6,6-tetramethylpiperidine and quenched with Et formate to give I (R = CO2Et) in 72% yield.

L21 ANSWER 11 OF 20 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 113:184209 CASREACT
TITLE: Potent anticonflict activity and lessening of memory impairment with a series of novel [1]benzothieno[2,3-c]pyridines and 1,2,3,4-tetrahydro[1]benzothieno[2,3-c]pyridines
AUTHOR(S): Kawakubo, Hiromu; Okazaki, Katuya; Nagatani, Tadasi; Takao, Katuyuki; Hasimoto, Shinichi; Sugihara, Taisuke
CORPORATE SOURCE: Inst. Life Sci., Asahi Chem. Ind. Co., Ltd., Nobeoka, 882, Japan
SOURCE: Journal of Medicinal Chemistry (1990), 33(11), 3110-16
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
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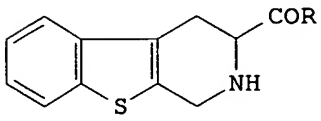
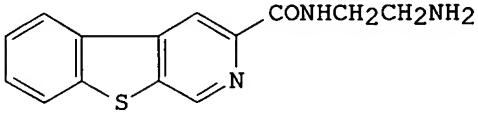


I, R=OEt
II, R=cyclohexyamino

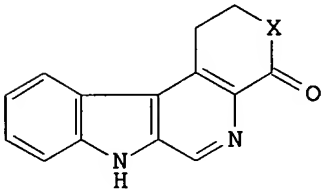


III

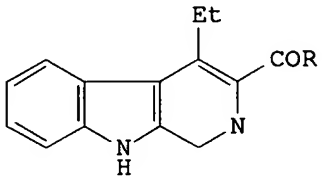
AB [1]Benzothieno[2,3-c]pyridines and 1,2,3,4-tetrahydro[1]benzothieno[2,3-c]pyridines were synthesized. The compds. are bioisosteres of .**beta**.-**carbolines** and 1,2,3,4-tetrahydro-.**beta**.-**carbolines** where the indole N is replaced by S. Their pharmacol. activity was evaluated in a water lick conflict test in rats and a passive avoidance test in mice. In the 1,2,3,4-tetrahydro[1]benzothieno[2,3-c]pyridine series, the presence of Et ester (I) or cyclohexylcarboxamide (II) groups at C-3 conferred good anticonflict activity and lessening of memory impairment, while N-acylation of I abolished activity. In the [1]benzothieno[2,3-c]pyridine series, the aminoethyl **carboxamide** (III) group at C-3 also

conferred activity, but other amides studied were not active. The most potent compds. (I, II, and III) were administered orally and had potent anticonflict and scopolamine-amnesia reversal activity. These compds. did not bind to the benzodiazepine receptor in spite of having structures similar to those of β -**carbolines**. III bound strongly to 5-HT1A receptors and thus is expected to be a novel anxiolytic.

L21 ANSWER 12 OF 20 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 111:97121 CASREACT
TITLE: Synthesis and benzodiazepine receptor affinities of rigid analogs of 3-carboxy-β -**carbolines**: demonstration that the benzodiazepine receptor recognizes preferentially the s-cis conformation of the 3-carboxy group
AUTHOR(S): Dorey, Gilbert; Poissonnet, Guillaume; Potier, Marie Claude; De Carvalho, Lia Prado; Venault, Patrice; Chapouthier, Georges; Rossier, Jean; Potier, Pierre; Dodd, Robert H.
CORPORATE SOURCE: Inst. Chim. Subst. Nat., Gif-sur-Yvette, F 91198, Fr.
SOURCE: Journal of Medicinal Chemistry (1989), 32(8), 1799-804
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



III

AB Indolopyrido-2-penten-5-olide I (X = O; II) and indolopyridopyridinone I (X = NH), rigid analogs of Me 4-ethyl-β -**carboline** -3-carboxylate III (R = OMe; IV) and N-methyl-4-ethyl-β -**carboline**-3-**carboxamide** III (R = NHMe; V), resp., were synthesized and their in vitro binding affinities to the central type benzodiazepine receptors were compared. The IC50 values of II and IV were approx. equivalent (42 and 27 nM, resp.). The amide derivative V, for which theor. energy calcns. indicate that the s-trans carbonyl conformation is the preferred one, displayed very low affinity (IC50 > 104 nM). However, when the carbonyl group of V was forced to adopt the s-cis conformation as in lactam I (X = NH), binding to the benzodiazepine receptor was largely restored (IC50 = 150 nM), indicating that the s-cis carboxy conformation at C-3 of β -**carbolines** is preferentially recognized by this receptor. In vivo, II showed neither convulsant, proconvulsant, nor anticonvulsant activity in mice. Moreover, II did not antagonize Me β -**carboline**-3-carboxylate induced convulsions in mice. This lack of activity of II was attributed to its inability to cross the blood-brain barrier since no significant displacement of [3H]Ro 15-1788 from mouse brain benzodiazepine receptors by II could be observed in vivo.

L21 ANSWER 13 OF 20 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 109:128862 CASREACT
TITLE: Synthesis of substituted **pyrido**[3,4-b]

EP 237467	B1	19921028		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 43605	A2	19871130	HU 1987-977	19870306
HU 196204	B	19881028		
AT 81857	E	19921115	AT 1987-730022	19870306
CA 1315784	A1	19930406	CA 1987-531388	19870306
ES 2052598	T3	19940716	ES 1987-730022	19870306
AU 8769885	A1	19870910	AU 1987-69885	19870309
AU 593331	B2	19900208		
JP 62270580	A2	19871124	JP 1987-52243	19870309
JP 07116184	B4	19951213		
US 4877792	A	19891031	US 1987-23752	19870309
US 4960777	A	19901002	US 1989-409899	19890920

PRIORITY APPLN. INFO.: DE 1986-3608089 19860308
EP 1987-730022 19870306
US 1987-23752 19870309

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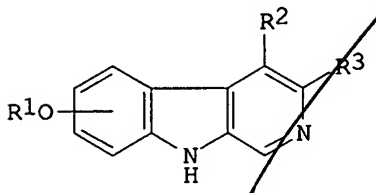

AB The title compds. [I; R1 = (substituted) heteroaryl; R2 = H, alkyl, alkoxyalkyl; R3 = carboxylate, **carboxamide**, carboxyalkyl, (substituted) oxadiazolyl] were prepared as central nervous system agents (no data). Me2NCH:C(CO2Et)N:CHNMe2 was stirred 10 min with HOAc and CF3CO2H. 4-(2-Pyrazinyloxy)indole was added and the mixture was stirred at room temperature for 24 h and at reflux for 2 h to give 56% I [R1O = 5-(2-pyrazinyloxy), R2 = H, R3 = CO2Et].

L21 ANSWER 15 OF 20 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 107:96702 CASREACT
TITLE: Phenoxy-β -**carboline** derivatives as central nervous system agents
INVENTOR(S): Schmiechen, Ralph; Seidelmann, Dieter; Huth, Andreas; Schneider, Herbert Hans; Stephens, David Norman; Engelstoft, Mogens; Hansen, John Bondo; Petersen, Erling
PATENT ASSIGNEE(S): Schering A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3540654	A1	19870514	DE 1985-3540654	19851113
EP 234173	A2	19870902	EP 1986-730188	19861111
EP 234173	A3	19880706		
EP 234173	B1	19930616		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 90678	E	19930715	AT 1986-730188	19861111
ES 2058064	T3	19941101	ES 1986-730188	19861111

NO 8604517	A	19870514	NO 1986-4517	19861112
NO 163736	B	19900402		
NO 163736	C	19900711		
HU 43067	A2	19870928	HU 1986-4678	19861112
HU 198046	B	19890728		
DD 254201	A5	19880217	DD 1986-296211	19861112
CA 1269377	A1	19900522	CA 1986-522771	19861112
IL 80618	A1	19900917	IL 1986-80618	19861112
DK 8605438	A	19870514	DK 1986-5438	19861113
DK 169702	B1	19950116		
FI 8604619	A	19870514	FI 1986-4619	19861113
FI 84067	B	19910628		
FI 84067	C	19911010		
AU 8665170	A1	19870521	AU 1986-65170	19861113
AU 602497	B2	19901018		
ZA 8608631	A	19870624	ZA 1986-8631	19861113
JP 62167780	A2	19870724	JP 1986-268799	19861113
JP 06099431	B4	19941207		
US 4945090	A	19900731	US 1986-929861	19861113
FI 85480	B	19920115	FI 1989-5790	19891204
FI 85480	C	19920427		
PRIORITY APPLN. INFO.:			DE 1985-3540654	19851113
			EP 1986-730188	19861111
			FI 1986-4619	19861113

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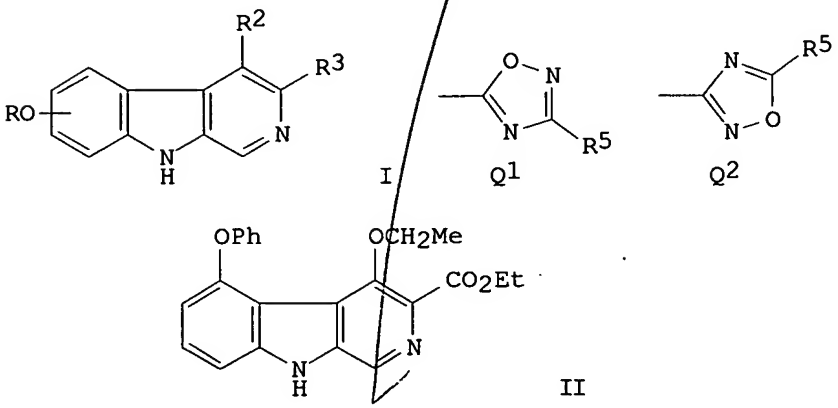


AB The title compds. (I; R = C6H4R1; R1 = H, halo, alkyl, alkoxy, acyloxy, Ph, alkylenedioxy, CF3, cyano, NO2, N3, alkoxycarbonyl, sulfonyl, sulfonamido; R2 = H, alkyl, alkoxyalkyl; R3 = Q1, Q2, CO2R4, **carboxamide**; R4 = alkyl; R5 = H, alkyl, cycloalkyl) were prepared as CNS (central nervous system) agents. Et 5-hydroxy-4-(methoxymethyl)-. **beta.-carboline**-3-carboxylate was heated with 4-FC6H4NO2 in DMF at 100° to give the 5-(4-nitrophenyl) derivative, which was reduced to the corresponding amine using H/Pd/C in MeOH/THF. The amine was diazotized with HBF4/NaNO2 and the diazo compound treated in situ with hypophosphorus acid to give phenoxy-β -**carboline** derivative II. II had an ED50 of 0.4 mg/mL i.p. for displacement of 3H-flunitrazepam from diazepine receptors in mouse brains.

L21 ANSWER 16 OF 20 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 100:209657 CASREACT
TITLE: Some 3-**carboxamides** of β -

carboline and tetrahydro-β -
carboline

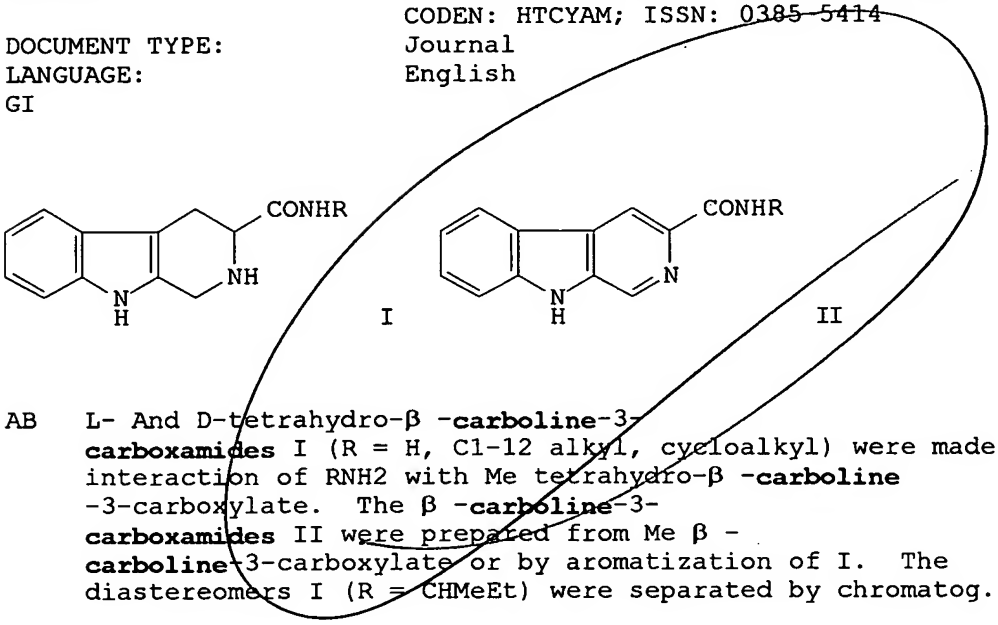
AUTHOR(S): Coutts, Ronald T.; Micetich, Ronald G.; Baker, Glen B.; Benderly, Abraham; Dewhurst, Tim; Hall, Tse Wei; Locock, Anthony R.; Pyrozko, Jerry

CORPORATE SOURCE: Fac. Pharm. Pharm. Sci., Univ. Alberta, Edmonton, AB, T6G 2N8, Can.

SOURCE: Heterocycles (1984), 22(1), 131-42
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal
LANGUAGE: English

GI



AB L- And D-tetrahydro-β -**carboline**-3-**carboxamides** I (R = H, C1-12 alkyl, cycloalkyl) were made by the interaction of RNH2 with Me tetrahydro-β -**carboline**-3-carboxylate. The β -**carboline**-3-**carboxamides** II were prepared from Me β -**carboline**-3-carboxylate or by aromatization of I. The diastereomers I (R = CHMeEt) were separated by chromatog.

L21 ANSWER 17 OF 20 CASREACT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 71:112783 CASREACT

TITLE: Reductive decyanization of α-amino nitriles with sodium borohydride. Synthetic approach to isoquinoline and indole alkaloids

AUTHOR(S): Yamada, Shunichi; Akimoto, Hiroshi

CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokyo, Tokyo, Japan

SOURCE: Tetrahedron Letters (1969), (36), 3105-8
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal
LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Various types of α-amino nitriles, PhCH2CH(CN)NHAc (I), PhCH2CH(CN)NMe2 (II), and III (R = CN), readily prepared by dehydration of α-amino acid amide derivs. with POCl3, were decyanized by NaBH4 reduction I heated with NaBH4 24 hrs. in diglyme at 100° yielded 88% PhCH2CH2NHAc; II similarly heated with NaBH4 20 hrs. at 35° in EtOH gave 100% PhCH2CH2NMe, also obtained in 87% yield by reductive decyanization 24 hrs. at 60° in C5H5N. Decyanization of III under optimal conditions (20 hrs. at 35° in EtOH) yielded III (R = H). L-Tryptophan converted by treatment with AcH and esterification with alc. HCl into the optically pure ester IV (R = CO2Et, R1 = H) (IVa), m. 116°, [α]24D - 106° (c 0.4, alc.) and treated with NH3-MeOH gave the corresponding **carboxamide** IV (R = CO-NH2, R1 = H) (V), m. 114°, [α]25D - 149° (c 0.4, EtOH). V benzoylated with PhCH2Br and NaHCO3 in alc. and dehydrated with POCl3 gave the amino nitrile IV (R = CN, R1 = CH2Ph) (VI), m. 160-1°, [α]23D - 12.6° (c 1.6, C5H5N). VI decyanized with NaBH4 in EtOH-C5H5N and debenzylated by catalytic hydrogenation gave optically pure 1-methyl-1,2,3,4-tetrahydro-β -**carboline** (VII), m. 177°, [α]25D - 52° (c 2.0, alc.). The asym. center of (-)-VII was shown to have (S)-configuration. The optically pure initial

corresponding benzyl chloride. VII were prepared mainly from RCl or RBr with 2 mol ArNH2 without a solvent above 100°, but some were obtained by reduction of the corresponding Schiff bases. IV (R = Z = H) (18.6 g.) converted to its Na derivative by boiling 1-2 h. with 4.5 g. PhMe-moistened NaNH2 in 150 cc. absolute PhMe or xylene, with ClCH2CH2NMe2 added, and the crude base distilled, gave 70% IV (R = Me2NCH2CH2, Z = H), b2.5 200-5°; maleate, C24H31N3O8, m. 164-5° (from MeOH-Et2O); 73% IV (R = Et2NCO, Z = H) (VIIa) was similarly obtained using Et2NCOCl and precipitating from solution in Me2CO or MeOH as the naphthalene-1,5-disulfonate, m. 265-7° (from HOAc-Me2CO) [VIIa.HCl, m. 202-3° (from alc.-Et2O)]. Similar reactions using PhCH2Cl succeeded poorly or not at all, apparently as the result of extensive quaternization at the 3-position. IVa (27.6 g.) in 250 cc. Me2CO, refluxed 24 h. with 18 g. PhCH2Cl, gave 81% 3-methyl-3,9-dibenzyl-1,2,3,4-tetrahydro-γ-carbolinium chloride, m. 211-12° (from alc.-Et2O); at room temperature IVa treated with 14 g. Me2SO4 and the product precipitated by 250 cc. Et2O gave 90% 3,3-dimethyl-9-benzyl-1,2,3,4-tetrahydro-γ-carbolinium methosulfate, m. 217-18° (from alc.-Et2O). The Na derivative of 1-methyl-4-imino-3-cyanopiperidine (from 69 g. MeN(CH2CH2CN)2 in PhMe, filtered off under N, and washed with PhMe) was added carefully under CO2 to 250 g. concentrated H2SO4 in 1 l. H2O, the aqueous layer separated, 35 g. PhNHNH2, and 100 g. 20% H2SO4 added, the mixture refluxed 6-8 h., and made alkaline giving C13H16N4, m. 123° (from C6H6), which may be the phenylhydrazone of 1-methyl-3-cyano-4-piperidone or VIII. α-(p-Methoxybenzylamino)pyridine (IX), m. 128°, was converted to the nitroso derivative, m. 56-7° (from ligroine), and reduced with Zn dust; some IX was recovered from the concentrated Et2O exts. by precipitation with ligroine and crude N,N-(p-methoxybenzyl)-α-pyridylhydrazine (X) was obtained by evaporation of the filtrate. X (20 g.) in 120 cc. alc. was treated in the cold with HCl, 14 g. V.HCl added, the mixture heated slightly on the water bath, then 2-3 h. at 70-80°, and Me2CO added to precipitate 5 g. V α-pyridylhydrazone-HCl, m. 224-5° (from MeOH-Me2CO); this was converted through the free base to the dimaleate, m. 142-3° (from alc. Et2O), and the dipicrate, m. 202-3° (from 90% HOAc-Et2O).

=> d his

(FILE 'HOME' ENTERED AT 16:47:52 ON 14 MAR 2005)

FILE 'CAPLUS' ENTERED AT 16:48:12 ON 14 MAR 2005

L1	4000	S	B(W)CARBOLIN?
L2	29	S	3-HYDROXAMIC(3A)ACID
L3	0	S	L1 AND L2
L4	2431	S	PYRIDO(4A)INDOL?
L5	0	S	L2 AND L4
L6	17060	S	CARBOXAMIDE
L7	108	S	L1 AND L6
L8	1536	S	RAUWOLFIA
L9	117	S	NORHARMANE
L10	7516	S	L1 OR L4 OR L8 OR L9
L11	23223	S	"HYDROXAMIC ACID" OR CARBOXAMIDE
L12	148	S	L10 AND L11
L13	143	S	"CARBOXYLIC ACID"(4A)HYDROXY(3A)AMIDE
L14	23352	S	L11 OR L13
L15	149	S	L10 AND L14
L16	1	S	L15 NOT L12

INDEX 'CAOLD, CAPLUS, CASREACT, CROPU, DGENE, DPCI, ENCOMPPAT, EPFULL, FRANCEPAT, FRFULL, FSTA, IFIPAT, IMSPATENTS, INPADOC, JAPIO, KOREAPAT,

LITALERT, NTIS, PAPERCHEM2, PATDD, PATDPA, PATDPAFULL, PCTFULL, PCTGEN, PIRA, PROUSDDR, PS, RAPRA, RDISCLOSURE, ...' ENTERED AT 17:00:01 ON 14 MAR 2005

SEA L15	
149	FILE CAPLUS
20	FILE CASREACT
4	FILE DGENE
3	FILE DPCI
1	FILE ENCOMPPAT
216	FILE EPFULL
2	FILE FRANCEPAT
11	FILE FRFULL
68	FILE IFIPAT
24	FILE INPADOC
1	FILE JAPIO
1	FILE KOREAPAT
2	FILE NTIS
7	FILE PATDPAFULL
251	FILE PCTFULL
60	FILE PROUSDDR
5	FILE SYNTHLINE
839	FILE USPATFULL
108	FILE USPAT2
16	FILE WPIDS
16	FILE WPINDEX

L17 QUE L15

FILE 'IFIPAT' ENTERED AT 17:04:06 ON 14 MAR 2005
L18 68 S L15

FILE 'BEILSTEIN' ENTERED AT 17:13:49 ON 14 MAR 2005
L19 118 S L15

FILE 'CAOLD' ENTERED AT 17:23:00 ON 14 MAR 2005
L20 0 S L15

FILE 'CASREACT' ENTERED AT 17:23:48 ON 14 MAR 2005
L21 20 S L15

=> fil dissabs

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	74.89	1672.01

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-13.60	-28.93

FILE 'DISSABS' ENTERED AT 17:25:39 ON 14 MAR 2005

FILE COVERS 1861 TO 25 FEB 2005 (20050225/ED)

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=> s L15
         38836 BETA
           242 BETAS
         38947 B
                 (BETA OR BETAS)
           133 CARBOLIN?
           117 B(W)CARBOLIN?
            98 PYRIDO
          2300 INDOL?
            18 PYRIDO(4A)INDOL?
            25 RAUWOLFIA
             3 NORHARMANE
           175 "HYDROXAMIC"
         64081 "ACID"
         23265 "ACIDS"
         75304 "ACID"
                 ("ACID" OR "ACIDS")
           169 "HYDROXAMIC ACID"
                 ("HYDROXAMIC"(W)"ACID")
           191 CARBOXAMIDE
            57 CARBOXAMIDES
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                 (CARBOXAMIDE OR CARBOXAMIDES)
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                 ("CARBOXYLIC"(W)"ACID")
          4856 HYDROXY
          2775 AMIDE
          1077 AMIDES
          3504 AMIDE
                 (AMIDE OR AMIDES)
             1 "CARBOXYLIC ACID"(4A)HYDROXY(3A)AMIDE
L22            3 L10 AND L14

=> d L22 ibib abs

L22  ANSWER 1 OF 3  DISSABS COPYRIGHT (C) 2005 ProQuest Information and
     Learning Company; All Rights Reserved on STN
ACCESSION NUMBER:    2003:13549  DISSABS   Order Number: AAI3057227
TITLE:               Synthesis and reactivity of food derived promutagens and
                     procarcinogens IQx and Trp-P-2
AUTHOR:              Brooks, Michael Edward [Ph.D.]; Novak, Michael [adviser]
CORPORATE SOURCE:    Miami University (0126)
SOURCE:              Dissertation Abstracts International, (2002) Vol. 63, No.
                     6B, p. 2842. Order No.: AAI3057227. 98 pages.
                     ISBN: 0-493-72421-4.
DOCUMENT TYPE:       Dissertation
FILE SEGMENT:        DAI
LANGUAGE:            English
AB         N-acetyl-N-acetoxy-2-amino-3-methylaminoimidazo[4,5-f]quinoxaline,
     N-Ac-N-OAc-IQx, 2, was synthesized as a model derivative of the food
     derived mutagen and carcinogen IQx, 1. The decomposition kinetics showed
     pH dependence that is consistent with the uncatalyzed decomposition of the
     neutral form of 2. The products of the decomposition in phosphate and
     acetate buffers were identified. Addition of nucleophiles N 3- and dG at
```

neutral pH did not affect the rate of decomposition of 2. This is also consistent with the formation of a nitrenium ion intermediate as the rate determining step during the decomposition of 2. The kaz/ks and kdG/K s selectivity ratios were determined to be (5.2 ± 0.5) + 104 M-1 and (9.1 ± 2.1) + 102 M-1, respectively. The structure of the product at neutral pH and also in the presence of the added nucleophiles is consistent with the formation of a nitrenium ion intermediate during the decomposition of 2. In the presence of dG, both the C-8 and N-2 adducts were isolated. The major adduct formed in the presence of dG was the C-8 adduct.

3-(N-Acetoxy-N-acetyl)-amino-1-methyl-5H-**pyrido**[4,3- b] **indole**, N-OAc-N-Ac-Trp-P-2, 4, was synthesized as a model derivative of the food derived mutagen and carcinogen Trp-P-2, 3. The kinetics of the decomposition of 4 show that there are two consecutive processes at most pH values. One of the processes corresponds to the disappearance of 4 according to HPLC studies. The compound 4 showed pH-dependent hydrolysis kinetics that is consistent with the uncatalyzed decomposition of the neutral form and the acid catalyzed decomposition of the protonated form. The pKa of the compound was determined by the kinetic method to be 3.84 ± 0.08. The ester 4 undergoes acid catalyzed ester hydrolysis to generate the corresponding **hydroxamic acid** at pH < 1.6.

=> d L22 ibib abs 2-3

L22 ANSWER 2 OF 3 DISSABS COPYRIGHT (C) 2005 ProQuest Information and Learning Company; All Rights Reserved on STN

ACCESSION NUMBER: 81:21303 DISSABS Order Number: AAR8117660
TITLE: A STUDY OF THE REISSERT COMPOUND CHEMISTRY OF SOME DIAZAHETEROCYCLIC SYSTEMS
AUTHOR: VEERARAGHAVAN, SESHADRI [PH.D.]
CORPORATE SOURCE: UNIVERSITY OF MISSOURI - KANSAS CITY (0134)
SOURCE: Dissertation Abstracts International, (1981) Vol. 42, No. 3B, p. 1026. Order No.: AAR8117660. 135 pages.
DOCUMENT TYPE: Dissertation
FILE SEGMENT: DAI
LANGUAGE: English
ENTRY DATE: Entered STN: 19921118
Last Updated on STN: 19921118

AB The Reissert compound chemistry of several diazaheterocyclic bases such as the (alpha)-, (beta)- and (gamma)-carbolines, the pyrido{4,3-b}carbazoles, pyrrolo{1,2-a}quinoxaline, pyrrolo{2,3-b}pyridine, pyridazine, pyrimidine, pyrazine, quinine and pyrido{2,3-b}pyrazine (a triaza system) was investigated.

(alpha)-, (beta)- and (delta)-Carbolines failed to form Reissert compounds under a wide variety of conditions. Pyrrolo{2,3-b}pyridine also failed to afford a Reissert compound. 3,4-Dihydro-(**beta**)-**carboline**, however, yielded the corresponding Reissert compound either by the phase transfer catalyst method or by the trimethylsilyl cyanide method. The 3,4-dihydro-(**beta**)-**carboline** Reissert compound underwent alkylation with alkyl halides in the presence of a base, but the subsequent alkaline hydrolysis of the alkylated Reissert compounds did not lead to the anticipated 1-alkyl-3,4-dihydro-(**beta**)-**carbolines** but to the formation of 1-alkyl-1,2,3,4-tetrahydro-(**beta**)-**carboline**-1-**carboxamides**. Oxidation of the 3,4-dihydro-(**beta**)-**carboline** Reissert compound with 2,3-dichloro-5,6-dicyanobenzoquinone (DDQ) yielded 1-cyano-(**beta**)-**carboline**. Treatment of 1-cyano-(**beta**)-**carboline** with ethanolic potassium hydroxide resulted in the alkaloid, 1-carbamoyl-(**beta**)-**carboline**. Several analogs of the 3,4-dihydro-(**beta**)-**carboline** Reissert compound were also prepared and

A quantitative study of DMT metabolism was conducted in whole brain homogenate obtained from rodents which had not been pretreated with iproniazid. In this study 6.0 x 10('-8)M 5-{('3)H}-DMT was metabolized to DMT-NO, NMT, indole-3-acetic acid (IAA) and 2-methyl-1,2,3,4-tetrahydro-(**beta**)-**carboline** (2-MTHBC). The major metabolite over a two hour incubation period was IAA, with formation of the other metabolites having peaked at or before 30 minutes. Incubation of 2.0 x 10('-5)M 5-{('3)H}-DMT gave DMT-NO as the major metabolite after 30 minutes. The formation of NMT peaked at one hour and IAA production appeared to be inhibited during the entire two-hour incubation period. The formation of 2-MTHBC, however, steadily increased over this time period. When 5-{('3)H}-DMT-NO was used as a substrate DMT and NMT were formed. Anaerobic incubation enhanced DMT and NMT formation and led to the production of 2-MTHBC as a metabolite. When whole brain homogenate from rodents pretreated with the MAOI iproniazid was used as the enzyme source, IAA formation was found to be inhibited by 83%. However, this MAOI also inhibited NMT and DMT-NO formation by 90% and no 2-MTHBC was observed to be formed.

In brain microsomes obtained from non-iproniazid treated rodents 5-{('3)H}-DMT was converted to DMT-NO, IAA and NMT. The metabolism of DMT was dependent on NADPH, nicotinamide (NA), Mg('+2) and O(,2). DMT was not metabolized under anaerobic conditions or in the presence of an N-oxidase inhibitor, 1-(1-napthyl)-2-thiourea. Incubation of 5-{('3)H}-DMT-NO gave DMT and IAA as metabolites. Anaerobic incubation of DMT-NO gave 2-MTHBC as the major metabolite and enhanced formation of NMT, DMT and IAA.

The formation of DMT-NO is postulated as a major factor in the overall metabolism of DMT and a cyclic pathway for the in vitro and possible in vivo metabolism and synthesis of DMT is proposed.

Following the identification of 2-MTHBC as an in vitro metabolite of DMT, experiments were conducted to determine if this compound was normally formed in vivo. Using rodent whole brain extracts, analyses were conducted by GC/MS with known standards. Using the method of selected ion monitoring the compounds 2-MTHBC and its demethylated analog, 1,2,3,4-tetrahydro-(**beta**)-**carboline** (THBC), were identified as normal constituents of rat brain. Possible mechanisms for the formation of these compounds from DMT and the other metabolites of DMT, i.e., NMT, DMT-NO and HCHO, are presented.

The tetrahydro-(**beta**)-**carbolines** are postulated to be putative neuroregulatory agents and/or hormone-like compounds regulating MAO activity and biogenic amine uptake. The possibility that these compounds are involved in certain psychopathological conditions is proposed. Preliminary data indicate that THBC is present in blood serum from some schizophrenic subjects.

Several N(,1)-alkyl-1,2 5,6-tetrahydropyridine-3-(N,N-diethyl) **carboxamides** (THPC), analogs of the D ring of LSD, were prepared and tested for their ability to block the behavioral effects of DMT in two rodent behavior paradigms. In both a food and a water reinforcement schedule paradigm 30 minutes pretreatment of rats with IP injected N(,1)-allyl- or N(,1)-propyl-THPC (10.0 and 20.0 mg/kg) significantly inhibited the effects of DMT (5.0 mg/kg IP). If DMT is involved in schizophrenia certain of these compounds may be clinically useful.

=> fil wpids

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	7.72	1679.73

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-28.93

FILE 'WPIDS' ENTERED AT 17:27:00 ON 14 MAR 2005

FILE LAST UPDATED: 11 MAR 2005 <20050311/UP>
MOST RECENT DERWENT UPDATE: 200517 <200517/DW>
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PLEASE CHECK:
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FOR DETAILS. <<<

```
=> s L15
        114510 BETA
            41 BETAS
        114522 B
                 (BETA OR BETAS)
           454 CARBOLIN?
           341 B(W)CARBOLIN?
          2288 PYRIDO
         17562 INDOL?
           347 PYRIDO(4A)INDOL?
           114 RAUWOLFIA
             3 NORHARMANE
             1 NORHARMANES
             4 NORHARMANE
                 (NORHARMANE OR NORHARMANES)
          1343 "HYDROXAMIC"
        887548 "ACID"
        164392 "ACIDS"
        925128 "ACID"
                 ("ACID" OR "ACIDS")
          1271 "HYDROXAMIC ACID"
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          5465 CARBOXAMIDE
           950 CARBOXAMIDES
          5962 CARBOXAMIDE
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        123629 "CARBOXYLIC"
             8 "CARBOXYLICS"
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        887548 "ACID"
        164392 "ACIDS"
        925128 "ACID"
                 ("ACID" OR "ACIDS")
        112205 "CARBOXYLIC ACID"
                 ("CARBOXYLIC"(W)"ACID")
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        127150 HYDROXY
             2 HYDROXIES
        127152 HYDROXY
                 (HYDROXY OR HYDROXIES)
         57707 AMIDE
         14220 AMIDES
         67501 AMIDE
                 (AMIDE OR AMIDES)
           208 "CARBOXYLIC ACID"(4A)HYDROXY(3A)AMIDE
L23         16 L10 AND L14

=> d L23 ibib abs 1-16

L23  ANSWER 1 OF 16  WPIDS  COPYRIGHT 2005 THE THOMSON CORP on STN
ACCESSION NUMBER:     2005-081417 [09]   WPIDS
DOC. NO. CPI:         C2005-028171
TITLE:                New tricyclic heterocyclic compounds, useful for
                      preventing/treating mitochondrial benzodiazepine receptor
                      accompanied disease such as stress-induced-central
                      nervous-, respiratory- and digestive system-disorders.
DERWENT CLASS:        B02
INVENTOR(S):          KATO, M; KATSUMATA, S; MANAKO, J; MATSUSHITA, T; OHMOTO,
                      K
PATENT ASSIGNEE(S):   (ONOY) ONO PHARM CO LTD
COUNTRY COUNT:        108
PATENT INFORMATION:

     PATENT NO        KIND DATE     WEEK      LA   PG
     ---------------------------------------------
     WO 2004113300    A1 20041229 (200509)* JA  177
        RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE
            LS LU MC MW MZ NA NL OA PL PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW
         W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE
            DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG
            KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO NZ
            OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG
            US UZ VC VN YU ZA ZM ZW

APPLICATION DETAILS:

     PATENT NO      KIND                  APPLICATION          DATE
     ------------------------------------------------------------------
     WO 2004113300  A1                    WO 2004-JP9071       20040622


PRIORITY APPLN. INFO: JP 2003-178436       20030623
AN   2005-081417 [09]   WPIDS
AB   WO2004113300 A UPAB: 20050207
     NOVELTY - Tricyclic heterocyclic compounds (I) are new.
          DETAILED DESCRIPTION - Tricyclic heterocyclic compounds of formula
     A-X-Y'-Z'-B' (I) and their salts, N-oxides, solvates and prodrugs are new.
          A = optionally substituted cyclic group;
          X-Y'-Z' = bond or spacer; and
          B' = optionally substituted hydrocarbon or cyclic group.
          INDEPENDENT CLAIMS are also included for the following:
          (1) composition containing (I);
          (2) prophylactic or therapeutic agent of central nervous system-,
     respiratory- and digestive system-disorders containing (I);
          (3) pharmaceutical containing (I), in combination with antianxiety-,
     antidepressant-, anti-Parkinson-, antiepileptic-, antiasthmatic-, peptic
     ulcer treating-, digestive tract function regulating-drug, antidiarrheal,
     purgative, hypotensive, antiarrhythmic, cardiotonic, dysuria therapeutic
     agent and integrated malfunction therapeutic agent; and
```

(4) use of (I) for manufacturing prophylactic/therapeutic agent of mitochondrial benzodiazepine receptor accompanied disease.

ACTIVITY - Tranquilizer; CNS-Gen.; Sedative; Antidepressant; Anticonvulsant; Respiratory-Gen.; Antiasthmatic; Gastrointestinal-Gen.; Antiinflammatory; Immunosuppressive; Neuroleptic; Nootropic; Antiparkinsonian; Neuroprotective; Antimigraine; Analgesic; Dermatological; Antiallergic; Antipruritic; Endocrine-Gen.

Mental stress was induced in Wister male rat and anti-stress effect of 2-acetyl-1-(3-fluorophenyl)-1,2,3,9-tetrahydro spiro((**beta**)-**carboline**-4,1'-cyclopropane) (Ia) was evaluated according to method described in Brain research (BrainRes.), 641, 21-28 page, 1994. (Ia) was administered orally at a dose of 10 mg/kg. Bowel evacuation was counted after 1 hour. The result showed that (Ia) suppressed bowel evacuation number significantly, due to anti-stress effect of (Ia).

MECHANISM OF ACTION - Benzodiazepine-Agonist; Benzodiazepine-Antagonist.

Rat meninges sample extracted from whole brain of Wister male rat was used in receptor binding experiment and affinity of 2-acetyl-1-(3-fluorophenyl)-1,2,3,9-tetrahydro spiro((**beta**)-**carboline**-4,1'-cyclopropane) (Ia) with respect to mitochondrial benzodiazepine receptor (MBR) was measured. (1-(2-chlorophenyl)-N-methyl-N-(1-methylpropyl)-3-isoquinoline **carboxamide**) described in European journal of pharmacology (Eur. J. pharmacol.), 119, 153-167 pages, 1985 ((3H)PK11195) was used as MBR selective ligand. Radioactivity was measured with liquid scintillation counter. Scatchard analysis was conducted using the obtained data and dissociation constant was obtained. Inhibition constant (Ki value) was calculated according to biochemical pharmacology (Biochem.Pharmacol.), 22, 3099-3108 page, 1973. The result showed that (Ia) had high affinity with respect to MBR with Ki value of 21 nM.

USE - For preventing or treating mitochondrial benzodiazepine receptor accompanied disease such as stress, and stress-induced-central nervous system disorders (such as uneasiness-associated disease, somnipathy, depression and/or epilepsy), stress-induced respiratory disorders (such as asthma) and stress-induced digestive system disorders (such as irritable bowel syndrome) (claimed). The uneasiness-associated disease is neurosis, psychosomatic disease, generalized/social anxiety disorder, panic-, attention deficit hyperactivity-, personality-, bipolar-disorders or autism. Other central nervous system disease are Parkinson's disease, schizophrenia, autonomic imbalance, Alzheimer's disease, emotional disorder, cognitive impairment, migraine, tension-headache and migrainous neuralgia. Several other central nervous system, respiratory and digestive system disorders are disclosed. (I) is also used for treating stress-induced dermal disease e.g. dermatitis, urticaria, eczema, skin pruritis, alopecia areata, etc.

ADVANTAGE - The compound (I) has high affinity to mitochondrial benzodiazepine receptor, produces very less toxicity and highly safe to use as pharmaceuticals.

Dwg.0/0

L23 ANSWER 2 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN

ACCESSION NUMBER: 2004-594157 [57] WPIDS

DOC. NO. CPI: C2004-216170

TITLE: New carbazole derivatives are HIV integrase inhibitors useful to treat diseases such as AIDS or AIDS related complex.

DERWENT CLASS: B02

INVENTOR(S): KUKI, A; LI, X; PLEWE, M B; WANG, H; ZHANG, J

PATENT ASSIGNEE(S): (PFIZ) PFIZER INC

COUNTRY COUNT: 108

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG

WO 2004067531 A1 20040812 (200457)* EN 57

RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE
LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE
DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG
KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO NZ
OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG
US UZ VC VN YU ZA ZM ZW

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2004067531	A1	WO 2004-IB259	20040123

PRIORITY APPLN. INFO: US 2003-443223P 20030127

AN 2004-594157 [57] WPIDS

AB WO2004067531 A UPAB: 20040907

NOVELTY - Carbazole derivatives (I), (Ib) and their salts are new.

DETAILED DESCRIPTION - Carbazole derivatives of formula (I) or (Ib) and their salts are new.

R1-R6 = H, halo, 1-6C alkyl, alkoxy (1-6C alkyl), 2-6C alkenyl, 2-6C alkynyl, -ORc, -NO2 or -N(Rc)2;

Rc = H, 1-6C alkyl, 2-6C alkenyl or 2-6C alkynyl;

R7 = 1-6C alkyl, 2-6C alkenyl or 2-6C alkynyl (all optionally substituted by one or more substituents of halo, 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, (hetero)cycloalkyl or (hetero)aryl (where aryl or (hetero)cycloalkyl are optionally substituted with one or more substituents of halo, 2-6C alkyl, 2-6C alkenyl, of 2-6C alkynyl)); and

R8, R9 = H, 1-6C alkyl, 2-6C alkenyl or 2-6C alkynyl (where alkyl, alkenyl or alkynyl are optionally substituted with one or more substituents of halo, (hetero)cycloalkyl or (hetero)aryl (where aryl or (hetero)cycloalkyl are optionally substituted with one or more substituents of halo, 1-6C alkyl, 2-6C alkenyl, or 2-6C alkynyl)).

A = (C(R10)(R11)n;

R10, R11 = H, halo, 1-6C alkyl, 2-6C alkenyl, 2-6C alkynyl, -ORc, or -N(Rc)2; and

n = 1-3.

ACTIVITY - Anti-HIV.

MECHANISM OF ACTION - HIV integrase inhibitor; HIV replication inhibitor. The ability of inhibit HIV integrase was assessed by integrase strand-transfer scintillation proximity assay. The results showed that median inhibitory concentration value of 9-(4-fluorobenzyl)-N-hydroxy-9H-**beta -carboline**-3-**carboxamide** was 0.234 mu M.

USE - (I) is useful in the treatment of diseases or conditions mediated by HIV integrase (claimed) such as AIDS or AIDS related complex (ARC).

Dwg.0/0

L23 ANSWER 3 OF 16 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN

ACCESSION NUMBER: 2004-580628 [56] WPIDS

DOC. NO. CPI: C2004-211623

TITLE: Use of tryptanthrin compound and an antigen to enhance an immune response to treat e.g. cholera, typhoid, hepatitis B infection, influenza, rabies, measles, mumps, rubella, polio, yellow fever, tetanus and diphtheria.

DERWENT CLASS: B02 B04 B07

INVENTOR(S): VALIANTE, N M; VALIANTE, N

PATENT ASSIGNEE(S): (CHIR) CHIRON CORP

COUNTRY COUNT: 108

PATENT INFORMATION:

Considerable MAO inhibiting activity for treatment of mental depression in man and animals. Also strong anorexigenic and anti-inflammatory agent.

The known N'-dimethylindole-2-**carboxamide** is reduced to 1-methyl-2-methylaminomethylindole. Each mol. of this cpd. is reacted with 2-mol. equivalent of oxalyl chloride to give (I).

```
=> fil mrck
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                        63.20    1742.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                           ENTRY    SESSION
CA SUBSCRIBER PRICE                                         0.00     -28.93

FILE 'MRCK' ENTERED AT 17:27:52 ON 14 MAR 2005
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FILE COVERS FROM LATE 19TH CENTURY TO PRESENT.  LAST UPDATE: AUGUST 2004

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Station, NJ, USA and is registered in the United States Patent and Trademark
Office.

=> s L15
          1748 B
                 (BETA)
             9 CARBOLIN?
             5 B(W)CARBOLIN?
            47 PYRIDO
           148 INDOL?
            14 PYRIDO(4A)INDOL?
            15 RAUWOLFIA
             0 NORHARMANE
             2 "HYDROXAMIC"
          4561 "ACID"
          1106 "ACIDS"
          5075 "ACID"
                 ("ACID" OR "ACIDS")
             2 "HYDROXAMIC ACID"
                 ("HYDROXAMIC"(W)"ACID")
            60 CARBOXAMIDE
           316 "CARBOXYLIC"
          4561 "ACID"
          1106 "ACIDS"
          5075 "ACID"
                 ("ACID" OR "ACIDS")
           313 "CARBOXYLIC ACID"
                 ("CARBOXYLIC"(W)"ACID")
          1058 HYDROXY
            60 AMIDE
             8 AMIDES
            67 AMIDE
                 (AMIDE OR AMIDES)
             0 "CARBOXYLIC ACID"(4A)HYDROXY(3A)AMIDE
L24           0 L10 AND L14

=> fil medline
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
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FULL ESTIMATED COST                                    0.31     1743.24

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE       TOTAL
                                                      ENTRY     SESSION
CA SUBSCRIBER PRICE                                    0.00      -28.93

FILE 'MEDLINE' ENTERED AT 17:28:25 ON 14 MAR 2005

 FILE LAST UPDATED: 12 MAR 2005 (20050312/UP).  FILE COVERS 1950 TO DATE.

 On December 19, 2004, the 2005 MeSH terms were loaded.

 The MEDLINE reload for 2005 is now available.  For details enter HELP
 RLOAD at an arrow promt (=>).  See also:

    http://www.nlm.nih.gov/mesh/
    http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html

 OLDMEDLINE now back to 1950.

 MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the
 MeSH 2005 vocabulary.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s L15
        508219 BETA
           526 BETAS
        508318 B
                 (BETA OR BETAS)
          3274 CARBOLIN?
          1935 B(W)CARBOLIN?
          1487 PYRIDO
         38362 INDOL?
           530 PYRIDO(4A)INDOL?
          2389 RAUWOLFIA
             7 RAUWOLFIAS
          2389 RAUWOLFIA
                 (RAUWOLFIA OR RAUWOLFIAS)
            42 NORHARMANE
          3820 "HYDROXAMIC"
       1318154 "ACID"
        487203 "ACIDS"
       1521924 "ACID"
                 ("ACID" OR "ACIDS")
          3802 "HYDROXAMIC ACID"
                 ("HYDROXAMIC"(W)"ACID")
          3792 CARBOXAMIDE
           414 CARBOXAMIDES
          4051 CARBOXAMIDE
                 (CARBOXAMIDE OR CARBOXAMIDES)
         23656 "CARBOXYLIC"
             1 "CARBOXYLICS"
         23657 "CARBOXYLIC"
                 ("CARBOXYLIC" OR "CARBOXYLICS")
       1318154 "ACID"
        487203 "ACIDS"
       1521924 "ACID"
                 ("ACID" OR "ACIDS")
         17256 "CARBOXYLIC ACID"
                 ("CARBOXYLIC"(W)"ACID")
         59210 HYDROXY
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acid amides.
AUTHOR: Lippke K P; Muller W E; Schunack W G
SOURCE: Journal of pharmaceutical sciences, (1985 Jun) 74 (6) 676-80.
Journal code: 2985195R. ISSN: 0022-3549.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 198509
ENTRY DATE: Entered STN: 19900320
Last Updated on STN: 19970203
Entered Medline: 19850925

AB Numerous **beta-carboline**-3-**carboxamides** were synthesized by amidation of **beta-carboline**-3-carboxylic acid, with various amino acids and amino acid esters serving as amine components, and tested in respect to their affinity for the benzodiazepine receptor in mouse brain membranes. The title compounds have affinities in the low micromolar range. The results are discussed with respect to their relevance for a possible **beta-carboline** structure containing the endogenous ligand of the benzodiazepine receptor.

L25 ANSWER 64 OF 70 MEDLINE on STN
ACCESSION NUMBER: 85237091 MEDLINE
DOCUMENT NUMBER: PubMed ID: 2989508
TITLE: Supraspinal convulsions induced by inverse benzodiazepine agonists in rabbits.
AUTHOR: Massotti M; Lucantoni D; Caporali M G; Mele L; Gatta F
SOURCE: Journal of pharmacology and experimental therapeutics, (1985 Jul) 234 (1) 274-9.
Journal code: 0376362. ISSN: 0022-3565.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 198508
ENTRY DATE: Entered STN: 19900320
Last Updated on STN: 19900320
Entered Medline: 19850820

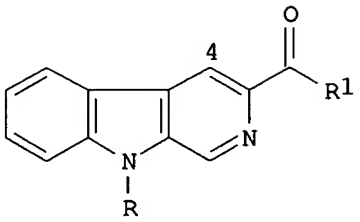
AB The electroencephalographic (EEG) effects of inverse benzodiazepine (BDZ) agonists have been studied in rabbits after i.v. administration. A dose-dependent progression of three different stages of EEG changes have been observed with inverse BDZ agonists. At first, trains of slow waves in the occipital cortex occur, followed by trains of spike-and-wave complexes in the sensorimotor cortex. These two stages are superimposed on a desynchronized cortical activity, accompanied by an enhancement of the hippocampal theta rhythm. These EEG changes parallel a state of alertness. The third stage is characterized by generalized grand-mal seizures made up of high voltage spikes in the cortical and subcortical brain areas accompanied by generalized tonico-clonic convulsions. No modification of electrical activity is observed at the level of the spinal cord. Methyl-**beta-carboline**-3-carboxylate (beta-CCM) (at doses higher than 0.2 mg/kg) and 6,7-dimethoxy-4-ethyl-**beta-carboline**-3-carboxylate (DMCM) (at doses higher than 0.4 mg/kg) elicit all three stages, whereas ethyl-**beta-carboline**-3-carboxylate (beta-CCE) (0.2-2 mg/kg) and N-methyl-**beta-carboline**-3-**carboxamide** (2-20 mg/kg) only elicit the first two, and finally CGS 8216 only the first. The extent of the EEG progression by inverse BDZ agonists may therefore be used as an index of the efficacy of each compound. The BDZ antagonists Ro 15-1788 and Ro 15-3505 (0.3 mg/kg or higher), which do not change the EEG pattern, block the effects of the convulsant and subconvulsant doses of the inverse BDZ

L15 ANSWER 66 OF 149 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:110120 CAPLUS
DOCUMENT NUMBER: 124:193312
TITLE: In vivo potent antifilarial β - **carbolines**
AUTHOR(S): Agarwal, Alka; Agarwal, Shiv K.; Singh, Som Nath; Fatma, Nigar; Chatterjee, R. K.
CORPORATE SOURCE: Div. Med. Chem., Central Drug Res. Inst., Lucknow, 226001, India
SOURCE: Bioorganic & Medicinal Chemistry Letters (1996), 6(3), 225-8
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 1-Methoxycarbonyl/carboxamido/cyano-9H-**pyrido**[3,4-b] **indoles** have been found to exhibit interesting in vivo filaricidal activity against Litomosoides carinii and Acanthocheilonema viteae in rodents.

L15 ANSWER 67 OF 149 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:940148 CAPLUS
DOCUMENT NUMBER: 124:45456
TITLE: Continuous exposure to FG 7142: behavioral sensitization is not accompanied by changes in benzodiazepine/GABA receptor coupling
AUTHOR(S): Brett, R. R.; Jedrusik, P.; Laverty, W.; Pratt, J. A.
CORPORATE SOURCE: Department Physiology and Pharmacology, University Strathclyde, Glasgow, G1 1XW, UK
SOURCE: Journal of Psychopharmacology (Oxford) (1995), 9(3), 223-7
CODEN: JOPSEQ; ISSN: 0269-8811
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Chronic intermittent high-dose treatment with N-methyl-β - **carboline**-3-**carboxamide** (FG 7142) leads to kindling accompanied by reduction in γ-aminobutyric acid (GABA) receptor function, whereas chronic continuous administration may result in behavioral effects in the opposite direction from those of acute FG 7142. In the present study, the authors have investigated the effects of continuous administration of low doses of FG 7142 on the response to an acute challenge dose of FG 7142 in an ethol. based model of anxiety. Rats treated continuously for 14 days with FG 7142 delivered by osmotic minipump at a rate of 1.2-1.5 mg/kg/day showed sensitization to the anxiogenic effects of a challenge dose of FG 7142 (6 mg/kg), as measured in the elevated plus-maze. This was not accompanied by any change in benzodiazepine/GABA receptor coupling, as assessed by the "GABA shift". These results indicate that continuous low-dose treatment with FG 7142 can elicit sensitization to the behavioral effects of FG 7142, but that this is unlikely to be mediated by changes in benzodiazepine/GABA receptor coupling.

L15 ANSWER 68 OF 149 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:933387 CAPLUS
DOCUMENT NUMBER: 124:117133
TITLE: Regioselective metalation of 9-methoxymethyl-. **beta.-carboline**-3-**carboxamides** with amidomagnesium chlorides
AUTHOR(S): Schlecker, Wolfgang; Huth, Andreas; Ottow, Eckhard; Mulzer, Johann
CORPORATE SOURCE: Schering AG Berlin, Berlin, D-13353, Germany

SOURCE: Synthesis (1995), (10), 1225-7
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Thieme
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:117133
GI

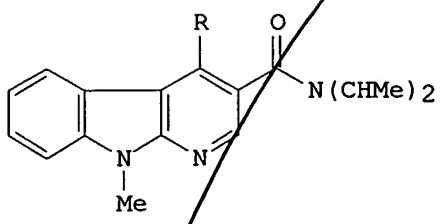


I

AB The N-protected β -**carbolines** I (R = CH2OMe; R1 = NHCMe3, NHMe) underwent exclusive metalation at C(4) with R2MgCl (R2 = 2,2,6,6-tetramethylpiperidino) and/or Et2NMgCl, whereas the unprotected . **beta.-carboline** I (R = H; R1 = NHMe) was inert under these conditions. The C(4) metalated species reacted with electrophiles to give 3,4-disubstituted β -**carbolines**, which are interesting precursors to physiol. active compds.

L15 ANSWER 69 OF 149 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:887311 CAPLUS
DOCUMENT NUMBER: 123:295127
TITLE: N-Methyl-β -**carboline**-3-**carboxamide** (FG 7142), an anxiogenic agent in airborne particles and cigarette smoke-polluted indoor air
AUTHOR(S): Yuan, Juan; Manabe, Shigeo
CORPORATE SOURCE: Dep. Hygiene, Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Environmental Pollution (1995), 90(3), 349-55
CODEN: ENPOEK; ISSN: 0269-7491
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB β -**Carboline**-3-carboxylic acid methylamide (FG 7142), an anxiogenic agent, has been measured in airborne particles, automobile-exhaust particles, incinerator ash, smoke condensate of tree leaves and cigarette-smoke-polluted indoor air by high-performance liquid chromatog. This compound has been detected in indoor as well as outdoor air. The source of this compound in indoor air was determined as cigarette smoke, identified from smoking machine studies. This anxiogenic agent was detected in smoke condensate of tree leaves and incinerator ash from garbage burning plants, but not in diesel-exhaust particles. Considering the present results, together with the previous finding that cigarette smoke contains this compound, FG 7142 is likely to be formed through combustion of plants. Our data also suggest that this compound may be widely distributed in the environment.

L15 ANSWER 70 OF 149 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:795473 CAPLUS
DOCUMENT NUMBER: 123:306611
TITLE: Cholecystokinin antagonists containing .**beta** .-**carbolines**
INVENTOR(S): Yamada, Koichiro; Hikoda, Masakatsu; Yura, Takeshi; Kano, Toshiaki; Nagasaki, Masaaki



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AB The preparation of new 3-substituted α-carbolines (1H- **pyrido**[2,3-b]**indole** derivs.) was described and these products were subjected to ortho-lithiation expts. 3-Pivalamido and 3-carboxamido derivs. are cleanly lithiated at 4-position. The results are correlated with MNDO calcns. Various 3,4-disubstituted α-carbolines are obtained in excellent yields. Thus, 9-methyl-N,N-diisopropyl-1H-**pyrido**[2,3-b]**indole**-3-**carboxamide** I (R = H) was treated with lithium 2,2,6,6-tetramethylpiperidine and quenched with Et formate to give I (R = CO2Et) in 72% yield.

L15 ANSWER 79 OF 149 CAPLUS COPYRIGHT 2005 ACS on STN
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TITLE: Ortho-directed lithiation studies of 3-carboxy-. **beta**.-**carbolines**: a direct route to 4-substituted derivatives
AUTHOR(S): Mehta, Anita; Dodd, Robert H.
CORPORATE SOURCE: Inst. Chim. Subst. Nat., Cent. Natl. Rech. Sci., Gif-sur-Yvette, 91198, Fr.
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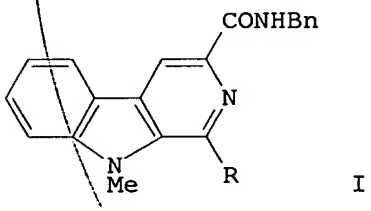

I

AB 9-N-methyl-3-N-benzyl-β -**carboline**-3-**carboxamide** (I; R = H) was regioselectively lithiated at the C-4 position using sec-butyllithium in THF at -78°C. The anion reacted with deuterium oxide to give the corresponding 4-deuterated derivative of I (R = H) in 45% yield. A side reaction in the latter case included nucleophilic addition of sec-butyllithium to the C-1 position of the **beta**.-**carboline** to give compound I (R = CHMeEt). This type of side product was not formed when methyllithium instead of sec-butyllithium was used to generate the anion of I (R = H). Under these conditions, specific C-4 substitution of β -**carboline** I (R = H) was achieved in high yields using anisaldehyde, benzophenone, N,N-dimethylformamide, and Pr iodide as electrophiles. This represents the first example of the use of ortho-directed metalation in the β -**carboline** series and allows direct entry to 4-substituted 3-carboxy-.**beta**